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\*\*\*\*\* Welcome to STN International \*\*\*\*\*

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	OCT 02	CA/Capius enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS	3	OCT 19	BEILSTEIN updated with new compounds
NEWS	4	NOV 15	Derwent Indian patent publication number format enhanced
NEWS	5	NOV 19	WPIX enhanced with XML display format
NEWS	6	NOV 30	ICSD reloaded with enhancements
NEWS	7	DEC 04	LINPADOCDB now available on STN
NEWS	8	DEC 14	BEILSTEIN pricing structure to change
NEWS	9	DEC 17	USPATOLD added to additional database clusters
NEWS	10	DEC 17	IMSDRUGCONF removed from database clusters and STN
NEWS	11	DEC 17	DGENE now includes more than 10 million sequences
NEWS	12	DEC 17	TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS	13	DEC 17	MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS	14	DEC 17	CA/Capius enhanced with new custom IPC display formats
NEWS	15	DEC 17	STN Viewer enhanced with full-text patent content from USPATOLD
NEWS	16	JAN 02	STN pricing information for 2008 now available
NEWS	17	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS	18	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS	19	JAN 28	MARPAT searching enhanced
NEWS	20	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS	21	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS	22	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS	23	FEB 08	STN Express, Version 8.3, now available
NEWS	24	FEB 20	PCI now available as a replacement to DPCI
NEWS	25	FEB 25	IFIREF reloaded with enhancements
NEWS	26	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS	27	FEB 29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification
NEWS EXPRESS	FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008		
NEWS HOURS	STN Operating Hours Plus Help Desk Availability		
NEWS LOGIN	Welcome Banner and News Items		
NEWS IPC8	For general information regarding STN implementation of IPC 8		

Enter NEWS followed by the item number or name to see news on that specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 16:56:48 ON 07 MAR 2008

=> file reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 16:56:58 ON 07 MAR 2008  
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STRUCTURE FILE UPDATES: 6 MAR 2008 HIGHEST RN 1006950-27-1  
DICTIONARY FILE UPDATES: 6 MAR 2008 HIGHEST RN 1006950-27-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

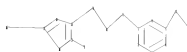
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>  
Uploading C:\Program Files\Stnexp\Queries\erich.str



```

chain nodes :
7 8 9 16 17 18 21
ring nodes :
1 2 3 4 5 6 10 11 12 13 14
chain bonds :
3-7 5-17 7-8 8-9 9-10 11-21 13-16 17-18
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-14 11-12 12-13 13-14
exact/norm bonds :
3-7 5-17 7-8 8-9 9-10 10-11 10-14 11-12 11-21 12-13 13-14 13-16 17-18
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 10 :

```

G1:O,S,N

G2:Ph,Ak

G3:H,Ak

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 16:Atom 17:CLASS 18:CLASS 21:CLASS

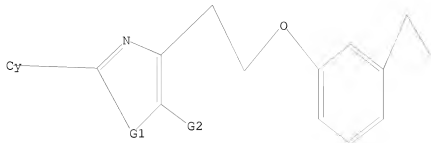
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L1           STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1           STR



G1 O,S,N

G2 Ph,Ak

G3 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 16:57:17 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED -           35 TO ITERATE

100.0% PROCESSED           35 ITERATIONS

17 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:    ONLINE   \*\*COMPLETE\*\*

                          BATCH    \*\*COMPLETE\*\*

PROJECTED ITERATIONS:       346 TO       1054

PROJECTED ANSWERS:           93 TO       587

L2           17 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 16:57:22 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -           752 TO ITERATE

100.0% PROCESSED           752 ITERATIONS

318 ANSWERS

SEARCH TIME: 00.00.01

L3           318 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

178.36

178.57

FILE 'CAPLUS' ENTERED AT 16:57:26 ON 07 MAR 2008

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FILE COVERS 1907 - 7 Mar 2008 VOL 148 ISS 11

FILE LAST UPDATED: 6 Mar 2008 (20080306/ED)

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<http://www.cas.org/infopolicy.html>

=> s l3 full

L4            24 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:284433 CAPLUS

DOCUMENT NUMBER: 146:337575

TITLE: Arylacetic acids and related compounds as PPAR modulators and their preparation, pharmaceutical compositions and use in the treatment of PPAR-mediated diseases

INVENTOR(S): Lin, Jack; Womack, Patrick; Lee, Byunghun; Shi, Shenghua; Zhang, Chao; Artis, Dean R.; Ibrahim, Prabha N.; Wang, Weiru; Zuckerman, Rebecca

PATENT ASSIGNEE(S): Plexxikon, Inc., USA

SOURCE: PCT Int. Appl., 239pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

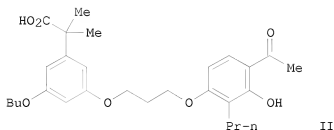
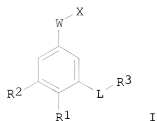
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2007030567	A3	20070621		
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RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			

PRIORITY APPLN. INFO.: US 2005-715214P P 20050907  
US 2006-789387P P 20060405

OTHER SOURCE(S): MARPAT 146:337575

GI



AB Compds. of formula I that are active on at least one of PPAR $\alpha$ , PPAR $\delta$ , and PPAR $\gamma$ , which are useful for therapeutic and/or prophylactic methods involving modulation of at least one of PPAR $\alpha$ , PPAR $\delta$ , and PPAR $\gamma$ , are described. Compds. of formula I wherein X is CO<sub>2</sub>H na derivs., CONH<sub>2</sub> and derivs., and carboxylic acid isostere; W is bond, (un)substituted C1-2 alkylamino, (un)substituted C1-2 alkoxy, (un)substituted C1-3 alkylene, (un)substituted ethenylene; R<sup>1</sup> and R<sup>2</sup> are independently H, halo, (un)substituted lower alkyl, (un)substituted lower alkenyl, (un)substituted lower alkynyl, etc.; R<sup>3</sup> is (un)substituted alkyl; L is O, S, NH and derivs., CO, CS, SO, SO<sub>2</sub>, CONH and derivs., etc.; and their pharmaceutically acceptable salts, prodrugs, tautomers, and isomers, thereof are claimed. Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their PPAR $\alpha$ , PPAR $\gamma$ , and PPAR $\delta$  activity. From the assay, it was determined that several compds. exhibited EC<sub>50</sub> values of less than or equal to 1  $\mu$ M against at least one of the PPAR $\alpha$ , PPAR $\gamma$  or PPAR $\delta$ .

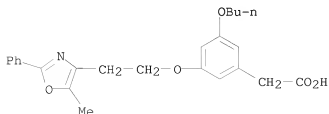
IT 929092-92-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of arylacetic acids and related compds. useful in prophylaxis and treatment of diseases - mediated by PPAR $\alpha$ , PPAR $\gamma$  and PPAR $\delta$  receptors)

RN 929092-92-2 CAPLUS

CN Benzeneacetic acid, 3-butoxy-5-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (CA INDEX NAME)







L4 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:510320 CAPLUS

DOCUMENT NUMBER: 145:27708

TITLE: Preparation of (hydroxybenzyl)(hydroxyimino)butyric acids as PPARY and PPAR $\alpha$  agonists

INVENTOR(S): Kim, Geun Tae; Koh, Jong Sung; Han, Hee Oon; Kim, Seung Hae; Kim, Kyoung-Hee; Chung, Hee-Kyung; Hong, Sung Woon; Lee, Chang-Seok; Koo, Ki Dong; Yim, Hyeon Joo; Hur, Gwong-Cheung; Kim, Hye Jin; Park, Ok Ku; Lee, Hyun Mi; Woo, Sung Ho

PATENT ASSIGNEE(S): LG Life Sciences, Ltd., S. Korea

SOURCE: PCT Int. Appl., 61 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

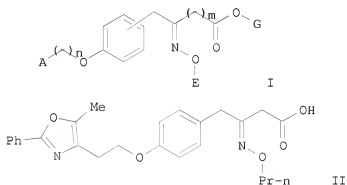
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006057505	A1	20060601	WO 2005-KR3943	20051122
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
KR 2006058631	A	20060530	KR 2005-111521	20051122

PRIORITY APPLN. INFO.: KR 2004-97343 A 20041125

OTHER SOURCE(S): CASREACT 145:27708; MARPAT 145:27708

GI



AB Title compds. represented by the formula I [wherein A = (un)substituted oxazolyl, thiazolyl, 1,2,4-oxadiazolyl, etc.; m = 0 or 1; n = 1 or 2; G = H; and pharmaceutically acceptable salts or isomers thereof] were prepared as PPARY and PPAR $\alpha$  agonist. For example, reaction of 2-(5-methyl-2-phenyloxazol-4-yl)ethyl methanesulfonate with Me

4-(4-hydroxyphenyl)-3-(propoxyimino)butanoate (56%), and followed by hydrolysis (72%), gave II. I showed accelerating effectively the activity of human PPAR $\gamma$  and PPAR $\alpha$ . Thus, I and their pharmaceutical compns. are useful as PPAR $\gamma$  and PPAR $\alpha$  agonists for the treatment of diabetes mellitus or implications associated with, or inflammation.

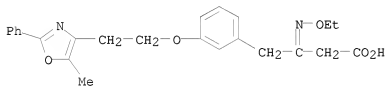
IT 888707-85-5P, 3-(Ethoxyimino)-4-[3-[2-(5-methyl-2-phenyl-1,3-oxazol-4-yl)ethoxy]phenyl]butanoic acid 888707-87-7P, 3-(Propoxyimino)-4-[3-[2-(5-methyl-2-phenyl-1,3-oxazol-4-yl)ethoxy]phenyl]butanoic acid 888707-94-6P, (E)-3-(Ethoxyimino)-4-[3-[2-(5-methyl-2-phenyl-1,3-oxazol-4-yl)ethoxy]phenyl]butanoic acid 888707-96-8P, (Z)-3-(Ethoxyimino)-4-[3-[2-(5-methyl-2-phenyl-1,3-oxazol-4-yl)ethoxy]phenyl]butanoic acid 888708-07-4P, (E)-3-(Propoxyimino)-4-[3-[2-(5-methyl-2-phenyl-1,3-oxazol-4-yl)ethoxy]phenyl]butanoic acid 888708-09-6P, (Z)-3-(Propoxyimino)-4-[3-[2-(5-methyl-2-phenyl-1,3-oxazol-4-yl)ethoxy]phenyl]butanoic acid

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (hydroxybenzyl) (hydroxyimino)butyric acid derivs. as PPAR $\gamma$  and PPAR $\alpha$  agonist)

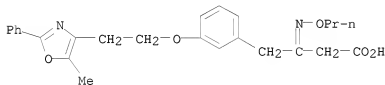
RN 888707-85-5 CAPLUS

CN Benzenebutanoic acid,  $\beta$ -(ethoxyimino)-3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (CA INDEX NAME)



RN 888707-87-7 CAPLUS

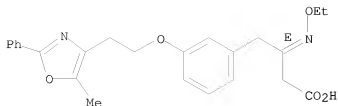
CN Benzenebutanoic acid, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- $\beta$ -(propoxyimino)- (CA INDEX NAME)



RN 888707-94-6 CAPLUS

CN Benzenebutanoic acid,  $\beta$ -(ethoxyimino)-3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-, ( $\beta$ E)- (CA INDEX NAME)

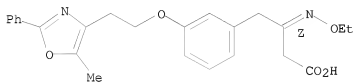
Double bond geometry as shown.



RN 888707-96-8 CAPLUS

CN Benzenebutanoic acid,  $\beta$ -(ethoxyimino)-3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-, ( $\beta Z$ )- (CA INDEX NAME)

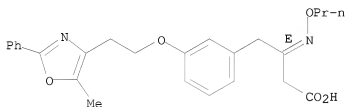
Double bond geometry as shown.



RN 888708-07-4 CAPLUS

CN Benzenebutanoic acid, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- $\beta$ -(propoxyimino)-, ( $\beta E$ )- (CA INDEX NAME)

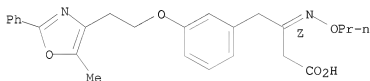
Double bond geometry as shown.



RN 888708-09-6 CAPLUS

CN Benzenebutanoic acid, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- $\beta$ -(propoxyimino)-, ( $\beta Z$ )- (CA INDEX NAME)

Double bond geometry as shown.

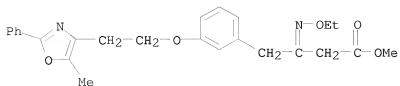


IT 888707-84-4P, Methyl 3-(ethoxyimino)-4-[3-[2-(5-methyl-2-phenyl-1,3-oxazol-4-yl)ethoxy]phenyl]butanoate 888707-86-6P, Methyl 3-(propoxyimino)-4-[3-[2-(5-methyl-2-phenyl-1,3-oxazol-4-yl)ethoxy]phenyl]butanoate

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of (hydroxybenzyl) (hydroxyimino)butyric acid derivs. as PPAR $\gamma$  and PPAR $\alpha$  agonist)

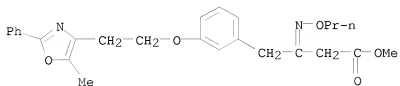
RN 888707-84-4 CAPLUS

CN Benzenebutanoic acid,  $\beta$ -(ethoxyimino)-3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-, methyl ester (CA INDEX NAME)



RN 888707-86-6 CAPLUS

CN Benzenebutanoic acid, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- $\beta$ -(propoxyimino)-, methyl ester (CA INDEX NAME)



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:395278 CAPLUS

DOCUMENT NUMBER: 142:447209

TITLE: Preparation of  $\alpha$ -hydroxyimino- $\beta$ -benzylpropanoate derivatives as PPAR $\gamma$  and PPAR $\alpha$  agonists for the treatment of diabetes mellitus and inflammation diseases

INVENTOR(S): Kim, Geun Tae; Koh, Jong Sung; Han, Hee Oon; Kim, Seung Hae; Kim, Kyoung-Hee; Chung, Hee-Kyung; Kim, Yeon Chul; Kim, Misun; Koo, Ki Dong; Yim, Hyeon Joo; Hur, Gwong-Cheung; Lee, Sun Hwa; Lee, Chang-Seok; Woo, Sung Ho

PATENT ASSIGNEE(S): LG Life Sciences Ltd., S. Korea

SOURCE: PCT Int. Appl., 211 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

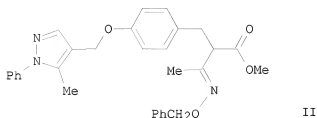
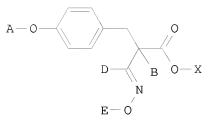
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
KR 2005040746	A	20050503	KR 2004-86055	20041027
PRIORITY APPLN. INFO.:			KR 2003-75037	A 20031027
			KR 2003-75041	A 20031027
			KR 2003-75046	A 20031027

OTHER SOURCE(S): CASREACT 142:447209; MARPAT 142:447209

GI



AB Title compds. I [wherein A = (un)substituted (cyclo)alkyl, (hetero)aryl, amine, amido, alkoxy, sulfonyl or sulfanyl; B, D, X = H or alkyl; E = H, alkyl or aryl; and pharmaceutically acceptable nontoxic salts, physiol. hydrolyzable esters, hydrates, solvates, isomers or prodrugs thereof] were prepared as agonists of peroxisome proliferator-activated receptor gamma (PPAR $\gamma$ ) and alpha (PPAR $\alpha$ ). For example, II was synthesized via etherification of the corresponding phenol (preparation given) with methanesulfonate ester of the pyrazolemethanol (preparation given) in 40% yield. I were found to be very effective for accelerating the activity of PPAR $\gamma$  and PPAR $\alpha$  with EC50 values of <1  $\mu$ M and <1000 nM (<100 nM for II), resp. Therefore, I are useful for treating or preventing PPAR $\gamma$ - and PPAR $\alpha$ -related diseases, such as diabetes mellitus, its complications and inflammation.

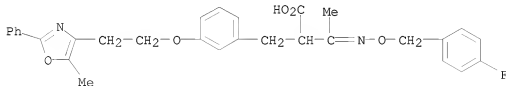
IT 851180-35-3P, 3-[[[(4-Fluorobenzyl)oxy]imino]-2-[3-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]benzyl]butyric acid 851180-36-4P, 3-[[[(4-Fluorobenzyl)oxy]imino]-2-[3-[2-[5-methyl-2-(thiophen-2-yl)oxazol-4-yl]ethoxy]benzyl]butyric acid

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(agonist; preparation of (hydroxyimino)benzylpropanoates as PPAR $\gamma$  and PPAR $\alpha$  agonists)

RN 851180-35-3 CAPLUS

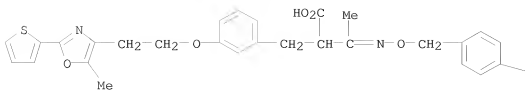
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RN 851180-36-4 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -[1-[[[(4-fluorophenyl)methoxy]imino]ethyl]-3-[2-[5-methyl-2-(2-thienyl)-4-oxazolyl]ethoxy]- (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

F

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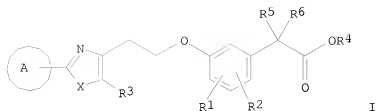
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THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

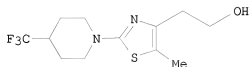
L4 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:283476 CAPLUS  
 DOCUMENT NUMBER: 142:355258  
 TITLE: Preparation of azole compounds containing phenylacetic acid moiety as PPAR $\delta$  agonists  
 INVENTOR(S): Kusuda, Shinya; Nakayama, Yoshisuke; Tajima, Hisao; Sakamoto, Takahiko  
 PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 81 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005028453	A1	20050331	WO 2004-JP14137	20040921
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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CA 2539554	A1	20050331	CA 2004-2539554	20040921
EP 1666472	A1	20060607	EP 2004-773449	20040921
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IN 2006CN00975	A	20070615	IN 2006-CN975	20060321
MX 2006PA03205	A	20060623	MX 2006-PA3205	20060322
US 2007105868	A1	20070510	US 2006-572937	20060322
PRIORITY APPLN. INFO.:			JP 2003-330616	A 20030922
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			WO 2004-JP14137	W 20040921
OTHER SOURCE(S):		MARPAT 142:355258		
GI				

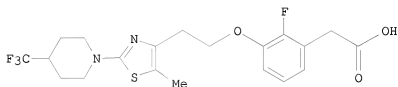




I



II



III

AB Title compds. I [R1, R2 = H, alkyl, etc.; R3 = optionally substituted alkyl with halo, etc.; R4 = H, alkyl; R5, R6 = H, alkyl; further detail on R5, R6 is provided.; X = S, O, etc.; ring A = optionally substituted cyclic group] were prepared. For example, reaction of compound II, e.g., prepared from 4-(trifluoromethyl)piperidine·HCl in 5 steps, with 2-fluoro-3-hydroxyphenylacetic acid Me ester under Mitsunobu condition followed by hydrolysis using aqueous NaOH afforded compound III. The exemplified compound III exhibited 1.23 fold increase for PPARδ at 1.0 μM. Compds. I are claimed useful as PPARδ agonists for the treatment of hyperlipidemia, obesity. Formulations are given.

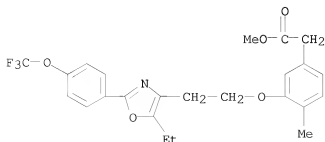
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848943-67-9P 848943-68-0P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation ofazole compds. containing phenylacetic acid moiety as PPAR agonists for treatment of hyperlipidemia, obesity)

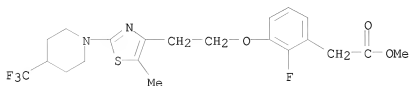
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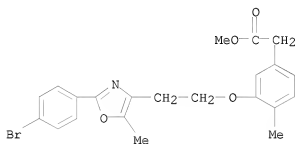
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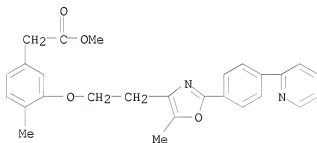
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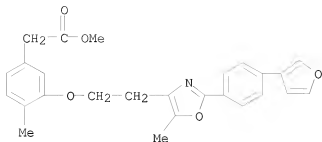
RN 848943-67-9 CAPLUS

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RN 848943-68-0 CAPLUS

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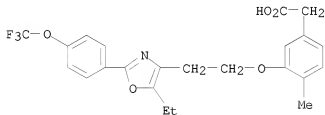
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azole compds. containing phenylacetic acid moiety as PPAR agonists for treatment of hyperlipidemia, obesity)

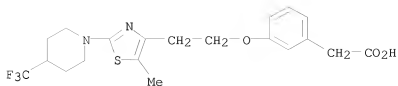
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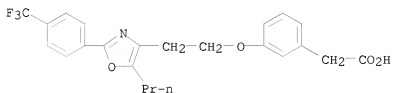
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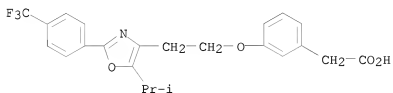
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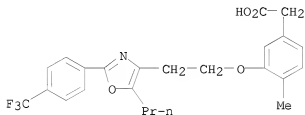
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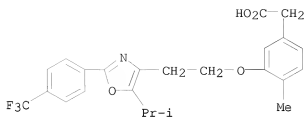
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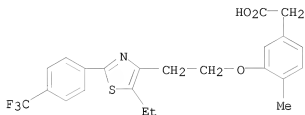


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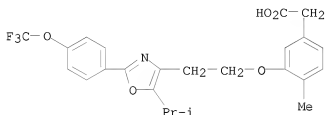
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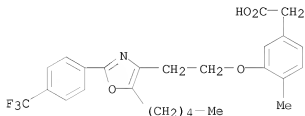
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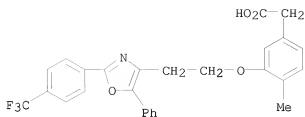
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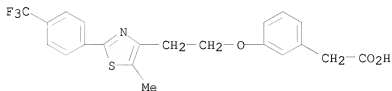


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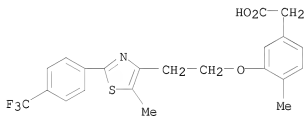
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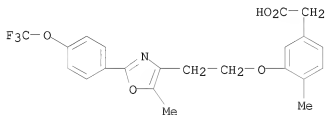
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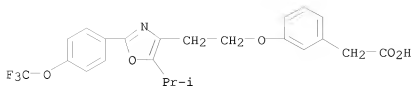
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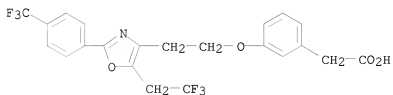


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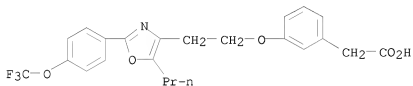
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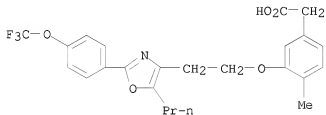
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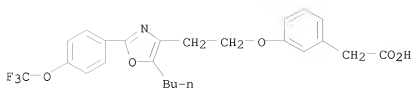
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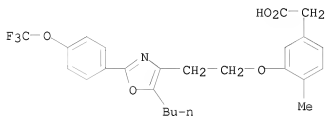


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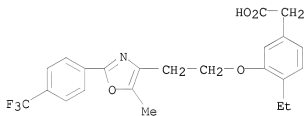
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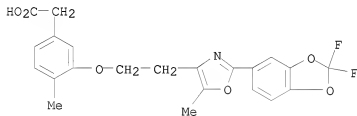
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RN 848943-42-0 CAPLUS

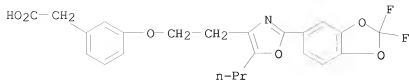
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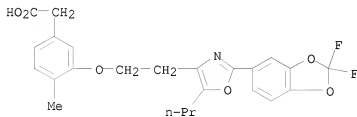
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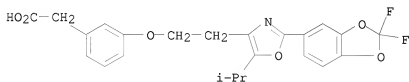
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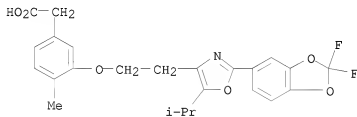
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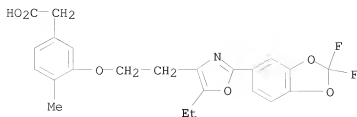
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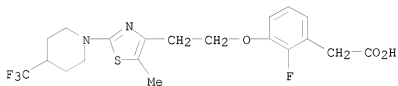
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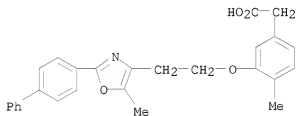
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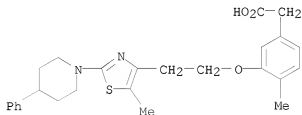
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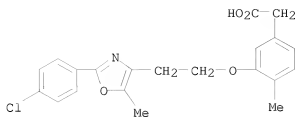
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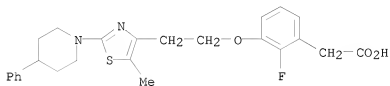


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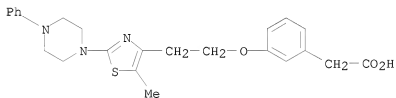
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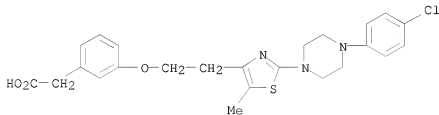
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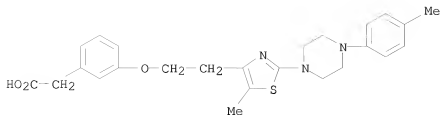
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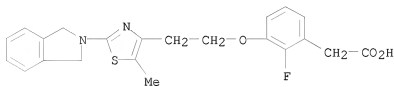


RN 848943-56-6 CAPLUS  
 CN Benzeacetic acid, 3-[2-[5-methyl-2-[4-(4-methylphenyl)-1-piperazinyl]-4-thiazolyl]ethoxy]- (CA INDEX NAME)



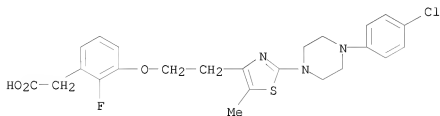
RN 848943-57-7 CAPLUS

CN Benzenecetic acid, 3-[2-[2-(1,3-dihydro-2H-isoindol-2-yl)-5-methyl-4-thiazolyl]ethoxy]-2-fluoro- (CA INDEX NAME)



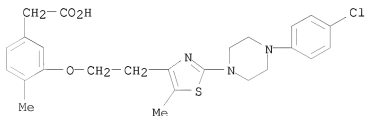
RN 848943-58-8 CAPLUS

CN Benzenecetic acid, 3-[2-[2-[4-(4-chlorophenyl)-1-piperazinyl]-5-methyl-4-thiazolyl]ethoxy]-2-fluoro- (CA INDEX NAME)



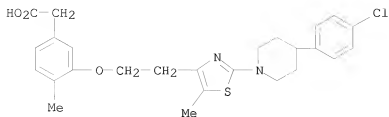
RN 848943-59-9 CAPLUS

CN Benzenecetic acid, 3-[2-[2-[4-(4-chlorophenyl)-1-piperazinyl]-5-methyl-4-thiazolyl]ethoxy]-4-methyl- (CA INDEX NAME)



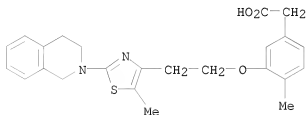
RN 848943-60-2 CAPLUS

CN Benzenecetic acid, 3-[2-[2-[4-(4-chlorophenyl)-1-piperidinyl]-5-methyl-4-thiazolyl]ethoxy]-4-methyl- (CA INDEX NAME)



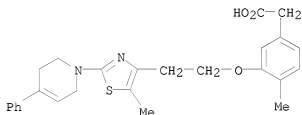
RN 848943-61-3 CAPLUS

CN Benzenecetic acid, 3-[2-[2-(3,4-dihydro-2(1H)-isoquinolinyl)-5-methyl-4-thiazolyl]ethoxy]-4-methyl- (CA INDEX NAME)



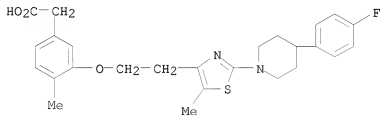
RN 848943-62-4 CAPLUS

CN Benzenecetic acid, 3-[2-[2-(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)-5-methyl-4-thiazolyl]ethoxy]-4-methyl- (CA INDEX NAME)



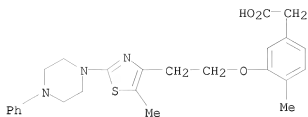
RN 848943-63-5 CAPLUS

CN Benzenecetic acid, 3-[2-[2-[4-(4-fluorophenyl)-1-piperidinyl]-5-methyl-4-thiazolyl]ethoxy]-4-methyl- (CA INDEX NAME)



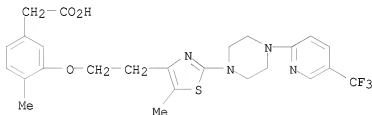
RN 848943-64-6 CAPLUS

CN Benzenecetic acid, 4-methyl-3-[2-[5-methyl-2-(4-phenyl-1-piperazinyl)-4-thiazolyl]ethoxy]- (CA INDEX NAME)



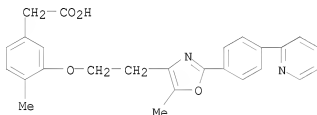
RN 848943-65-7 CAPLUS

CN Benzenecetic acid, 4-methyl-3-[2-[5-methyl-2-[4-[5-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]-4-thiazolyl]ethoxy]- (CA INDEX NAME)



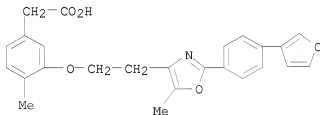
RN 848943-69-1 CAPLUS

CN Benzenecetic acid, 4-methyl-3-[2-[5-methyl-2-[4-(2-pyridinyl)phenyl]-4-oxazolyl]ethoxy]- (CA INDEX NAME)



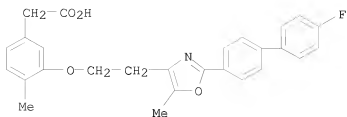
RN 848943-70-4 CAPLUS

CN Benzenecetic acid, 3-[2-[2-[4-(3-furanyl)phenyl]-5-methyl-4-oxazolyl]ethoxy]-4-methyl- (CA INDEX NAME)



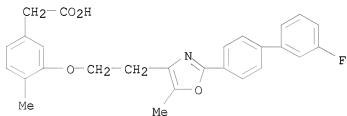
RN 848943-71-5 CAPLUS

CN Benzenecetic acid, 3-[2-[2-(4'-fluoro[1,1'-biphenyl]-4-yl)-5-methyl-4-oxazolyl]ethoxy]-4-methyl- (CA INDEX NAME)



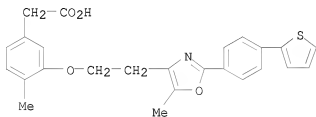
RN 848943-72-6 CAPLUS

CN Benzenecetic acid, 3-[2-[2-(3'-fluoro[1,1'-biphenyl]-4-yl)-5-methyl-4-oxazolyl]ethoxy]-4-methyl- (CA INDEX NAME)



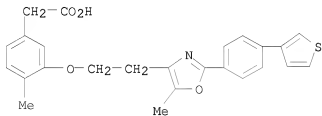
RN 848943-73-7 CAPLUS

CN Benzenecetic acid, 4-methyl-3-[2-[5-methyl-2-[4-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]- (CA INDEX NAME)



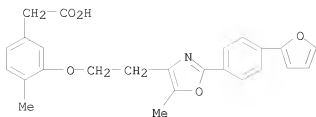
RN 848943-74-8 CAPLUS

CN Benzenecetic acid, 4-methyl-3-[2-[5-methyl-2-[4-(3-thienyl)phenyl]-4-oxazolyl]ethoxy]- (CA INDEX NAME)



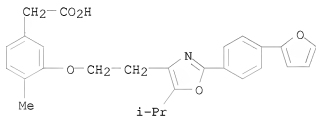
RN 848943-75-9 CAPLUS

CN Benzenecetic acid, 3-[2-[2-[4-(2-furanyl)phenyl]-5-methyl-4-oxazolyl]ethoxy]-4-methyl- (CA INDEX NAME)



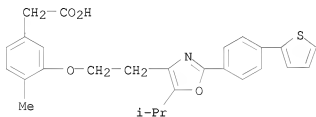
RN 848943-76-0 CAPLUS

CN Benzenecetic acid, 3-[2-[2-[4-(2-furanyl)phenyl]-5-(1-methylethyl)-4-oxazolyl]ethoxy]-4-methyl- (CA INDEX NAME)



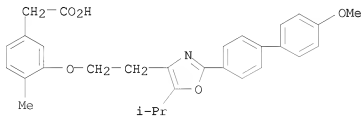
RN 848943-77-1 CAPLUS

CN Benzenecetic acid, 4-methyl-3-[2-[5-(1-methylethyl)-2-[4-(2-thienyl)phenyl]-4-oxazolyl]ethoxy]- (CA INDEX NAME)



RN 848943-78-2 CAPLUS

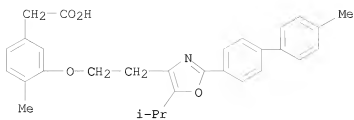
CN Benzenecetic acid, 3-[2-[2-(4'-methoxy[1,1'-biphenyl]-4-yl)-5-(1-methylethyl)-4-oxazolyl]ethoxy]-4-methyl- (CA INDEX NAME)



RN 848943-79-3 CAPLUS

CN Benzenecetic acid, 4-methyl-3-[2-[2-(4'-methyl[1,1'-biphenyl]-4-yl)-5-(1-methylethyl)-4-oxazolyl]ethoxy]- (CA INDEX NAME)





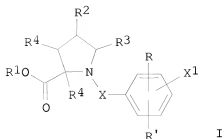
REFERENCE COUNT:

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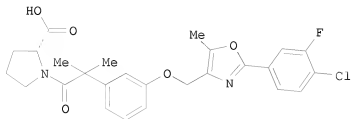
THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:1037096 CAPLUS  
 DOCUMENT NUMBER: 142:23512  
 TITLE: Preparation of N-acyl proline derivatives and related  
 nitrogen heterocycles as ligands of peroxisome  
 proliferator-activated receptors  
 INVENTOR(S): Ksander, Gary Michael; Vedananda, Thalaththani  
 Ralalage  
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.  
 SOURCE: PCT Int. Appl., 75 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004103995	A1	20041202	WO 2004-EP5434	20040519
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SI, SJ, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004240754	A1	20041202	AU 2004-240754	20040519
CA 2525764	A1	20041202	CA 2004-2525764	20040519
EP 1638963	A1	20060329	EP 2004-739269	20040519
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004010779	A	20060627	BR 2004-10779	20040519
CN 1795193	A	20060628	CN 2004-80014021	20040519
JP 2007501263	T	20070125	JP 2006-529884	20040519
US 2006135593	A1	20060622	US 2005-556988	20051115
MX 2005PA12465	A	20060130	MX 2005-PA12465	20051118
IN 2005CN03050	A	20070727	IN 2005-CN3050	20051118
NO 2005006056	A	20060217	NO 2005-6056	20051220
KR 2008007519	A	20080121	KR 2008-700342	20080107
PRIORITY APPLN. INFO.:			US 2003-472067P	P 20030520
			WO 2004-EP5434	W 20040519
			KR 2005-722001	A3 20051118
OTHER SOURCE(S):	MARPAT 142:23512			
GI				



I



II

AB The invention relates to pharmacol. agents I [R1 is H, (un)substituted alkyl, aryl, heteroaryl, aralkyl or cycloalkyl; R2 is H, OH, oxo, (un)substituted alkyl, aryl, aralkyl, alkoxy, aryloxy, aralkoxy, alkylthio, arylthio or aralkylthio; R3 is H; or R2 and R3 combine to form a bond, a 5- to 7-membered ring or (un)substituted benzo; R4 is H or R42 is a bond; X is methylene, alkylidene, O, S, NH, alkyl- or arylimino; R, R' are independently H, halo, (un)substituted alkyl, alkoxy, aralkyl or heteroaralkyl or R and R' attached to adjacent carbon atoms combine to form an (un)substituted fused 5- to 6-membered aromatic or heteroarom. ring; X is Z-(CH2)1-8-Q-W, where Z is a bond, O, S, SO, SO2 or CONR5 (R5 is H, alkyl or aralkyl), Q is a bond, O(CH2)0-8, S(CH2)0-8, CONR6 [R6 is H, (un)substituted alkyl, cycloalkyl, aryl, heteroaryl, aralkyl or heteroaralkyl], etc., and W is cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl; or W and R6 combine to form a 8- to 12-membered bicyclic ring] and related 2-indolecarboxylic and 2-quinolinecarboxylic acid derivs. which bind to peroxisome proliferator-activated receptors (PPARs) and thus are useful for the treatment of conditions mediated by the PPAR receptor activity in mammals. Four example illustrate the synthesis of compds. of the invention via acylation, etherification, and other reactions. Pyrrolidinecarboxylic acid derivative II shows an EC50 of about 3 nM in the PPAR $\alpha$  receptor binding assay and an EC50 of about 1800 nM in the PPAR $\gamma$  receptor binding assay.

IT 799854-79-8P

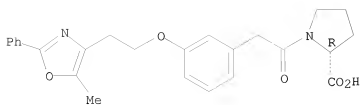
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-acyl proline derivs. and related nitrogen heterocycles as ligands of peroxisome proliferator-activated receptors)

RN 799854-79-8 CAPLUS

CN D-Proline, 1-[[3-[(2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]acetyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



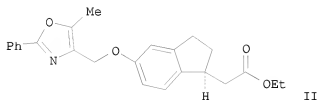
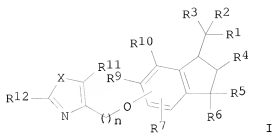
REFERENCE COUNT:

8

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:101148 CAPLUS  
 DOCUMENT NUMBER: 140:163867  
 TITLE: Preparation of indane, dihydrobenzofuran and tetrahydronaphthalene carboxylic acid derivatives as antidiabetic agents  
 INVENTOR(S): Wickens, Philip; Cantin, Louis-David; Chuang, Chih-Yuan; Dai, Miao; Hentemann, Martin F.; Kumarasinghe, Ellalahewage; Liang, Sidney X.; Lowe, Derek B.; Shelekhin, Tatiana E.; Wang, Yamin; Zhang, Chengzhi; Zhang, Hai-Jun; Zhao, Qian  
 PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corporation, USA  
 SOURCE: PCT Int. Appl., 204 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004011446	A1	20040205	WO 2003-US23342	20030725
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003263814	A1	20040216	AU 2003-263814	20030725
PRIORITY APPLN. INFO.:			US 2002-399095P	P 20020726
			WO 2003-US23342	W 20030725
OTHER SOURCE(S):	MARPAT 140:163867			
GI				

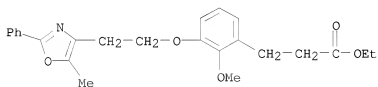


AB Title compds., e.g., I [X = O, S; n = 1-3; R1 = carboxy, carboxamide, alkylamino, etc.; R2-3 = H, F, alkyl; R4-6 = H, alkyl; R7 = H, alkoxy, OH, etc.; R9 = H, Br, Cl, I, alkyl, etc.; R10 = H, OSO2CF3, etc.; R11 = H, alkyl, etc.; R12 = naphthyl, pyridyl, etc.] are prepared For instance, Et (S)-[5-hydroxy-2,3-dihydro-1H-inden-1-yl]acetate (preparation given) is coupled to 4-chloromethyl-5-methyl-2-phenyloxazole (preparation given; DMF, K2CO3, 3 h, 80°) to give II. I are useful in the treatment of diseases such as diabetes, diabetes-related disorders, obesity, hyperlipidemia and cardiovascular diseases.

IT 652980-85-3P, Ethyl 3-[2-methoxy-3-[2-(5-methyl-2-phenyl-1,3-oxazol-4-yl)ethoxy]phenyl]propanoate 652980-86-4P, 3-[2-Methoxy-3-[2-(5-methyl-2-phenyl-1,3-oxazol-4-yl)ethoxy]phenyl]propanoic acid  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of indane, dihydrobenzofuran and tetrahydronaphthalene carboxylic acid derivs. as antidiabetic agents)

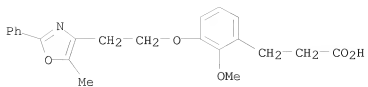
RN 652980-85-3 CAPLUS

CN Benzenepropanoic acid, 2-methoxy-3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-, ethyl ester (CA INDEX NAME)



RN 652980-86-4 CAPLUS

CN Benzenepropanoic acid, 2-methoxy-3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (CA INDEX NAME)



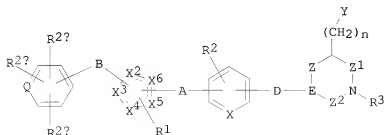
REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2008 ACS ON STN  
 ACCESSION NUMBER: 2004:41231 CAPLUS  
 DOCUMENT NUMBER: 140:111429  
 TITLE: Preparation of substituted heterocyclic derivatives  
 useful as antidiabetic and antiobesity agents  
 INVENTOR(S): Cheng, Peter T. W.; Chen, Sean; Devasthale, Pratik;  
 Ding, Charles Z.; Herpin, Timothy F.; Wu, Shung;  
 Zhang, Hao; Wang, Wei; Ye, Xiang-Yang  
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA  
 SOURCE: PCT Int. Appl., 543 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004004665	A2	20040115	WO 2003-US22149	20030702
WO 2004004665	A3	20040325		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2003259131 A1 20040123 AU 2003-259131 20030702 JP 2005536494 T 20051202 JP 2004-520148 20030702 EP 1656368 A2 20060517 EP 2003-763485 20030702 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK US 2004063700 A1 20040401 US 2003-616365 20030708 US 7279485 B2 20071009 NO 2005000077 A 20050203 NO 2005-77 20050106 US 2007287713 A1 20071213 US 2007-779319 20070718 US 2002-394508P P 20020709 WO 2003-US22149 W 20030702 US 2003-616365 A3 20030708				
PRIORITY APPLN. INFO.:				
OTHER SOURCE(S): MARPAT 140:111429				
GI				



I

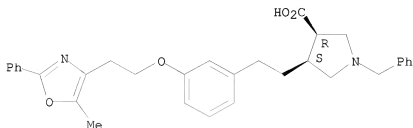
AB The title compds. (I) [Z1 = (CH2)q, CO; Z2 = (CH2)p, CO; D = CH, CO,





CN 3-Pyrrolidinecarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-1-(phenylmethyl)-, (3R,4S)-rel- (CA INDEX NAME)

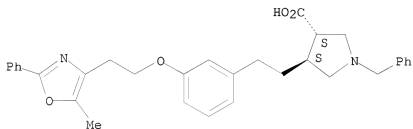
Relative stereochemistry.



RN 647001-97-6 CAPLUS

CN 3-Pyrrolidinecarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-1-(phenylmethyl)-, (3R,4R)-rel- (CA INDEX NAME)

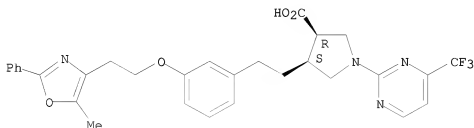
Relative stereochemistry.



RN 647001-98-7 CAPLUS

CN 3-Pyrrolidinecarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-1-[4-(trifluoromethyl)-2-pyrimidinyl]-, (3R,4S)- (CA INDEX NAME)

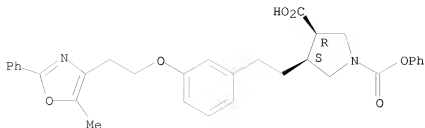
Absolute stereochemistry.



RN 647001-99-8 CAPLUS

CN 1,3-Pyrrolidinedicarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, 1-phenyl ester, (3R,4S)-rel- (CA INDEX NAME)

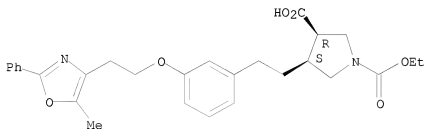
Relative stereochemistry.



RN 647002-00-4 CAPLUS

CN 1,3-Pyrrolidinedicarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, 1-ethyl ester, (3R,4S)-rel- (CA INDEX NAME)

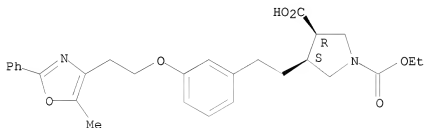
Relative stereochemistry.



RN 647002-01-5 CAPLUS

CN 1,3-Pyrrolidinedicarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, 1-ethyl ester, (3R,4S)- (CA INDEX NAME)

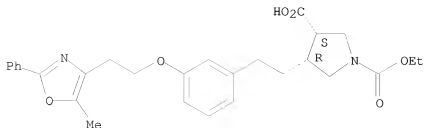
Absolute stereochemistry.



RN 647002-02-6 CAPLUS

CN 1,3-Pyrrolidinedicarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, 1-ethyl ester, (3S,4R)- (CA INDEX NAME)

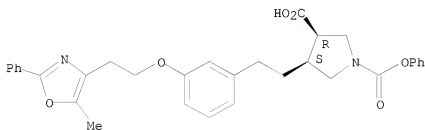
Absolute stereochemistry.



RN 647002-03-7 CAPLUS

CN 1,3-Pyrrolidinedicarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, 1-phenyl ester, (3R,4S)- (CA INDEX NAME)

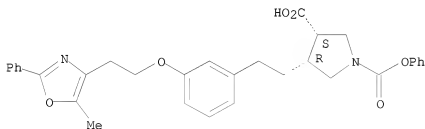
Absolute stereochemistry.



RN 647002-04-8 CAPLUS

CN 1,3-Pyrrolidinedicarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, 1-phenyl ester, (3S,4R)- (CA INDEX NAME)

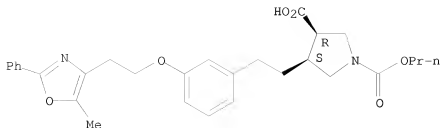
Absolute stereochemistry.



RN 647002-05-9 CAPLUS

CN 1,3-Pyrrolidinedicarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, 1-propyl ester, (3R,4S)-rel- (CA INDEX NAME)

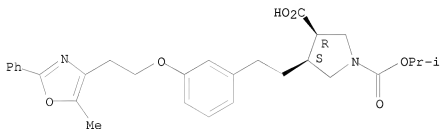
Relative stereochemistry.



RN 647002-06-0 CAPLUS

CN 1,3-Pyrrolidinedicarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, 1-(1-methylethyl) ester, (3R,4S)-rel- (CA INDEX NAME)

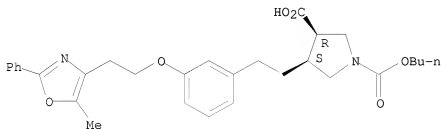
Relative stereochemistry.



RN 647002-07-1 CAPLUS

CN 1,3-Pyrrolidinedicarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, 1-butyl ester, (3R,4S)-rel- (CA INDEX NAME)

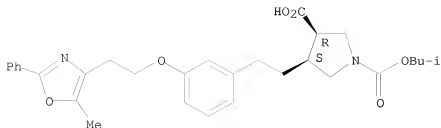
Relative stereochemistry.



RN 647002-08-2 CAPLUS

CN 1,3-Pyrrolidinedicarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, 1-(2-methylpropyl) ester, (3R,4S)-rel- (CA INDEX NAME)

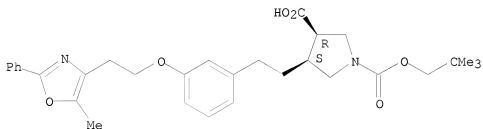
Relative stereochemistry.



RN 647002-09-3 CAPLUS

CN 1,3-Pyrrolidinedicarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, 1-(2,2-dimethylpropyl) ester, (3R,4S)-rel- (CA INDEX NAME)

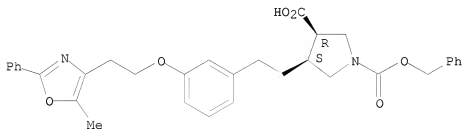
Relative stereochemistry.



RN 647002-10-6 CAPLUS

CN 1,3-Pyrrolidinedicarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, 1-(phenylmethyl) ester, (3R,4S)-rel- (CA INDEX NAME)

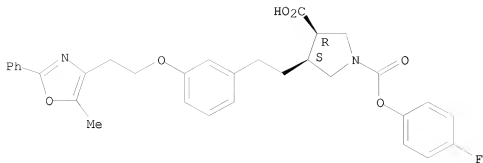
Relative stereochemistry.



RN 647002-11-7 CAPLUS

CN 1,3-Pyrrolidinedicarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, 1-(4-fluorophenyl) ester, (3R,4S)-rel- (CA INDEX NAME)

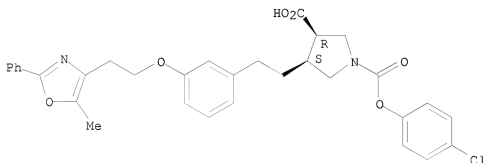
Relative stereochemistry.



RN 647002-12-8 CAPLUS

CN 1,3-Pyrrolidinedicarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, 1-(4-chlorophenyl) ester, (3R,4S)-rel- (CA INDEX NAME)

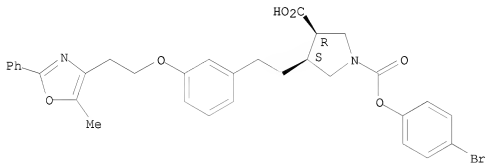
Relative stereochemistry.



RN 647002-13-9 CAPLUS

CN 1,3-Pyrrolidinedicarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, 1-(4-bromophenyl) ester, (3R,4S)-rel- (CA INDEX NAME)

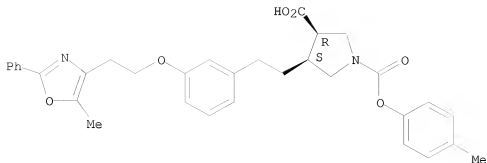
Relative stereochemistry.



RN 647002-14-0 CAPLUS

CN 1,3-Pyrrolidinedicarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, 1-(4-methylphenyl) ester, (3R,4S)-rel- (CA INDEX NAME)

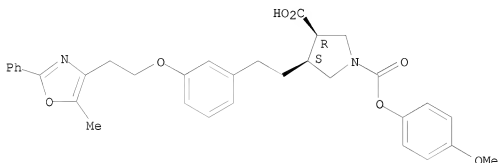
Relative stereochemistry.



RN 647002-15-1 CAPLUS

CN 1,3-Pyrrolidinedicarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, 1-(4-methoxyphenyl) ester, (3R,4S)-rel- (CA INDEX NAME)

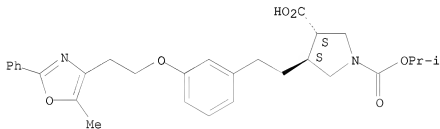
Relative stereochemistry.



RN 647002-16-2 CAPLUS

CN 1,3-Pyrrolidinedicarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, 1-(1-methylethyl) ester, (3R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.

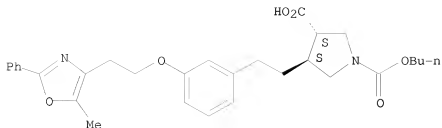


RN 647002-17-3 CAPLUS

CN 1,3-Pyrrolidinedicarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, 1-butyl ester, (3R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.

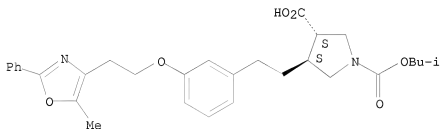




RN 647002-18-4 CAPLUS

CN 1,3-Pyrrolidinedicarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, 1-(2-methylpropyl) ester, (3R,4R)-rel- (CA INDEX NAME)

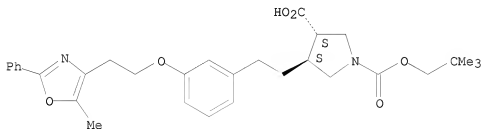
Relative stereochemistry.



RN 647002-19-5 CAPLUS

CN 1,3-Pyrrolidinedicarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, 1-(2,2-dimethylpropyl) ester, (3R,4R)-rel- (CA INDEX NAME)

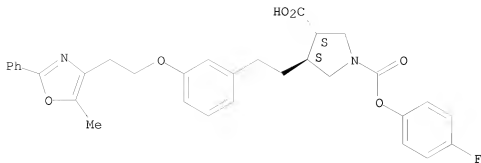
Relative stereochemistry.



RN 647002-20-8 CAPLUS

CN 1,3-Pyrrolidinedicarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, 1-(4-fluorophenyl) ester, (3R,4R)-rel- (CA INDEX NAME)

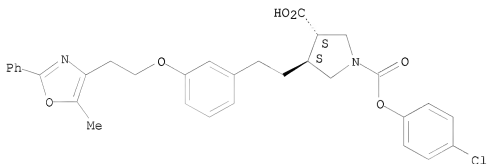
Relative stereochemistry.



RN 647002-21-9 CAPLUS

CN 1,3-Pyrrolidinedicarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, 1-(4-chlorophenyl) ester, (3R,4R)-rel- (CA INDEX NAME)

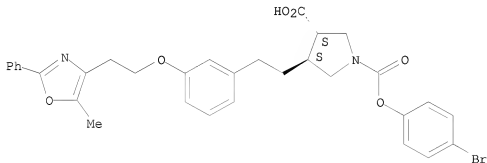
Relative stereochemistry.



RN 647002-22-0 CAPLUS

CN 1,3-Pyrrolidinedicarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, 1-(4-bromophenyl) ester, (3R,4R)-rel- (CA INDEX NAME)

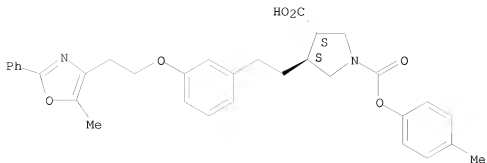
Relative stereochemistry.



RN 647002-23-1 CAPLUS

CN 1,3-Pyrrolidinedicarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, 1-(4-methylphenyl) ester, (3R,4R)-rel- (CA INDEX NAME)

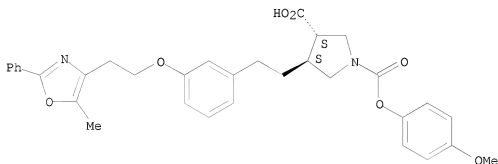
Relative stereochemistry.



RN 647002-24-2 CAPLUS

CN 1,3-Pyrrolidinedicarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, 1-(4-methoxyphenyl) ester, (3R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.



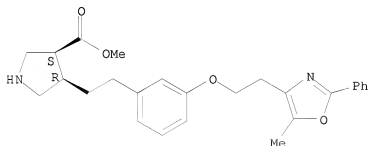
IT 647006-37-9P 647006-38-0P

RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of substituted heterocyclic derivs. as antidiabetic and antiobesity agents)

RN 647006-37-9 CAPLUS

CN 3-Pyrrolidinedicarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, methyl ester, (3S,4R)- (CA INDEX NAME)

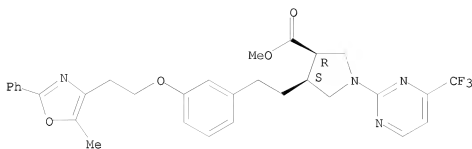
Absolute stereochemistry.



RN 647006-38-0 CAPLUS

CN 3-Pyrrolidinedicarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-1-[4-(trifluoromethyl)-2-pyrimidinyl]-, methyl ester, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.

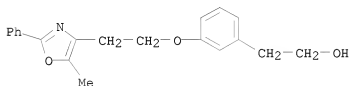


IT 477774-28-0P 477774-29-1P 477774-36-0P  
 585569-20-6P 585569-21-7P 585569-22-8P  
 585569-23-9P 585569-24-0P 647003-76-7P  
 647003-85-8P 647003-86-9P 647003-95-0P  
 647003-96-1P 647004-04-4P 647006-33-5P  
 647006-34-6P 647006-35-7P 647006-36-8P  
 647006-39-1P 647006-40-4P 647006-41-5P  
 647832-89-1P 647832-90-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of substituted heterocyclic derivs. as antidiabetic and  
 antiobesity agents)

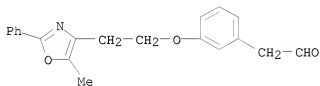
RN 477774-28-0 CAPLUS

CN Benzeneethanol, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (CA INDEX  
 NAME)



RN 477774-29-1 CAPLUS

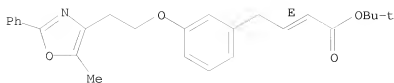
CN Benzeneacetaldehyde, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (CA  
 INDEX NAME)



RN 477774-36-0 CAPLUS

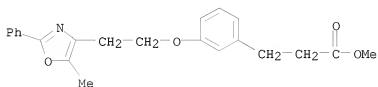
CN 2-Butenoic acid, 4-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]-,  
 1,1-dimethylethyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



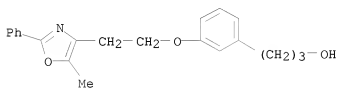
RN 585569-20-6 CAPLUS

CN Benzenepropanoic acid, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-, methyl ester (CA INDEX NAME)



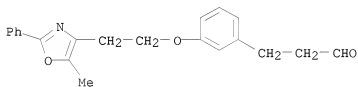
RN 585569-21-7 CAPLUS

CN Benzenepropanol, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (CA INDEX NAME)



RN 585569-22-8 CAPLUS

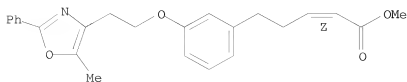
CN Benzenepropanal, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (CA INDEX NAME)



RN 585569-23-9 CAPLUS

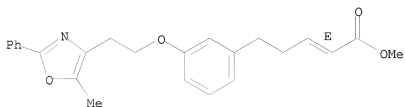
CN 2-Pentenoic acid, 5-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]-, methyl ester, (2Z)- (CA INDEX NAME)

Double bond geometry as shown.



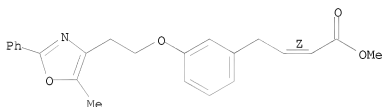
RN 585569-24-0 CAPLUS  
 CN 2-Pentenoic acid, 5-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

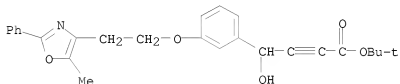


RN 647003-76-7 CAPLUS  
 CN 2-Butenoic acid, 4-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]-, methyl ester, (2Z)- (CA INDEX NAME)

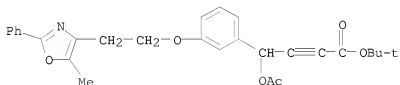
Double bond geometry as shown.



RN 647003-85-8 CAPLUS  
 CN 2-Butynoic acid, 4-hydroxy-4-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



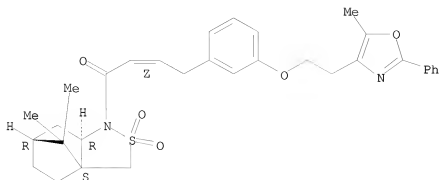
RN 647003-86-9 CAPLUS  
 CN 2-Butynoic acid, 4-(acetyloxy)-4-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 647003-95-0 CAPLUS  
 CN 3H-3a,6-Methano-2,1-benzisothiazole, hexahydro-8,8-dimethyl-1-[(2Z)-4-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]-1-oxo-2-butenyl]-, 2,2-dioxide, (3aS,6R,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

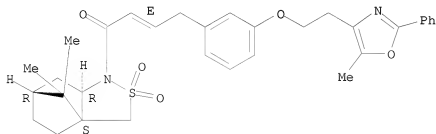


RN 647003-96-1 CAPLUS

CN 3H-3a,6-Methano-2,1-benzisothiazole, hexahydro-8,8-dimethyl-1-[(2E)-4-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]-1-oxo-2-butenyl]-, 2,2-dioxide, (3aS,6R,7aR)- (9CI) (CA INDEX NAME)

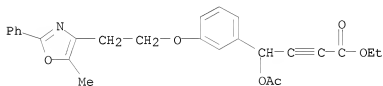
Absolute stereochemistry.

Double bond geometry as shown.



RN 647004-04-4 CAPLUS

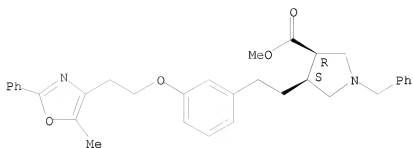
CN 2-Butynoic acid, 4-(acetyloxy)-4-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]-, ethyl ester (CA INDEX NAME)



RN 647006-33-5 CAPLUS

CN 3-Pyrrolidinecarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-1-(phenylmethyl)-, methyl ester, (3R,4S)-rel- (CA INDEX NAME)

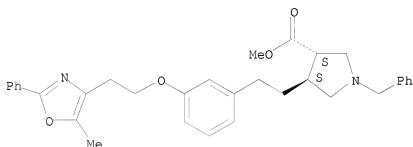
Relative stereochemistry.



RN 647006-34-6 CAPLUS

CN 3-Pyrrolidinecarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-1-(phenylmethyl)-, methyl ester, (3R,4R)-rel- (CA INDEX NAME)

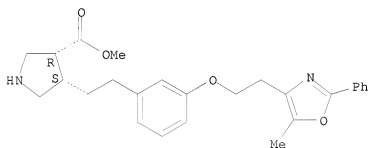
Relative stereochemistry.



RN 647006-35-7 CAPLUS

CN 3-Pyrrolidinecarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, methyl ester, (3R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.

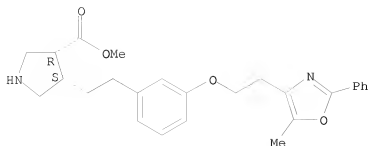


RN 647006-36-8 CAPLUS

CN 3-Pyrrolidinecarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, methyl ester, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.

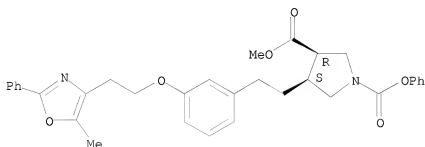




RN 647006-39-1 CAPLUS

CN 1,3-Pyrrolidinedicarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, 3-methyl 1-phenyl ester, (3R,4S)- (CA INDEX NAME)

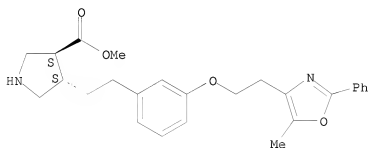
Absolute stereochemistry.



RN 647006-40-4 CAPLUS

CN 3-Pyrrolidinecarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, methyl ester, (3R,4R)-rel- (CA INDEX NAME)

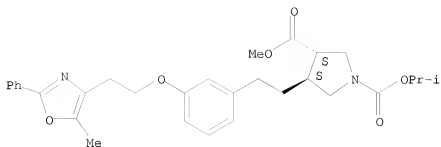
Relative stereochemistry.



RN 647006-41-5 CAPLUS

CN 1,3-Pyrrolidinedicarboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, 3-methyl 1-(1-methylethyl) ester, (3R,4R)-rel- (CA INDEX NAME)

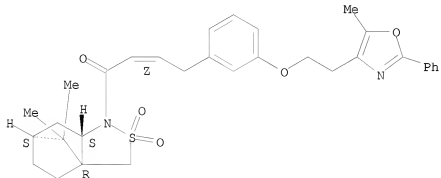
Relative stereochemistry.



RN 647832-89-1 CAPLUS

CN 3H-3a,6-Methano-2,1-benzisothiazole, hexahydro-8,8-dimethyl-1-[(2Z)-4-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]-1-oxo-2-butenyl]-, 2,2-dioxide, (3aR,6S,7aS)- (9CI) (CA INDEX NAME)

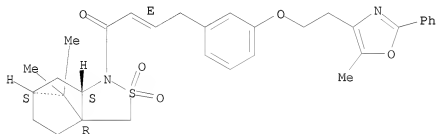
Absolute stereochemistry.  
Double bond geometry as shown.



RN 647832-90-4 CAPLUS

CN 3H-3a,6-Methano-2,1-benzisothiazole, hexahydro-8,8-dimethyl-1-[(2E)-4-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]-1-oxo-2-butenyl]-, 2,2-dioxide, (3aR,6S,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



L4 ANSWER 8 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:696736 CAPLUS

DOCUMENT NUMBER: 139:230769

TITLE: Preparation of (arylalkyl)thiazoles and oxazoles as peroxisome proliferator activated receptor modulators for treating diabetes mellitus and atherosclerosis  
Conner, Scott Eugene; Mantlo, Nathan Bryan; Zhu, Guoxin

INVENTOR(S): Eli Lilly and Company, USA

PATENT ASSIGNEE(S): PCT Int. Appl., 153 pp.

SOURCE: CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

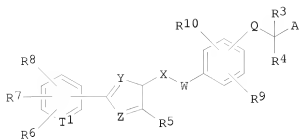
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003072102	A1	20030904	WO 2003-US2680	20030213
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003214932	A1	20030909	AU 2003-214932	20030213
EP 1480642	A1	20041201	EP 2003-710780	20030213
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2005528346	T	20050922	JP 2003-570848	20030213
US 2006084663	A1	20060420	US 2004-505103	20040817
US 7259175	B2	20070821		

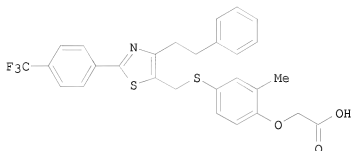
PRIORITY APPLN. INFO.: US 2002-359807P P 20020225  
WO 2003-US2680 W 20030213

OTHER SOURCE(S): MARPAT 139:230769

GI



I



II

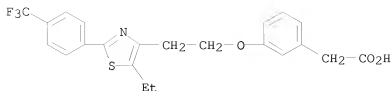
AB Title compds. I [wherein R3 = H or alkoxy; R4 = H or alkyl; R5 = alkyl, alkenyl, or (un)substituted aryl(oxy)alkyl or arylthioalkyl; R6 = CF<sub>3</sub>, OCF<sub>3</sub>, (hydroxy)alkyl, alkylcarbamoyl, carboxyalkoxy, or (un)substituted aryloxy, arylthio, pyridinyl, pyrimidinyl, pyrazinyl, or arylalkyl; R7 and R8 = independently H, CF<sub>3</sub>, or alkyl; R9 and R10 = independently H, alkyl, alkenyl, or alkoxy; T1 = C or N; Q = bond, O, O(CH<sub>2</sub>)<sub>q</sub>, or C; q = 1-2; W = O, S, SO<sub>2</sub>, NHSO<sub>2</sub>, etc.; X = CmH<sub>2m</sub>; m = 0-2; Y and Z = independently O, N, or S wherein at least 1 of Y and Z = O or S; A = CO<sub>2</sub>H, alkylnitride, CONH<sub>2</sub>, or (CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R<sub>19</sub>; n = 0-3; R<sub>19</sub> = H or (un)substituted alkyl or arylmethyl; and pharmaceutically acceptable salts thereof] were prepared as peroxisome proliferator activated receptor (PPAR) agonists (no data). For example, (4-mercapto-2-methylphenoxy)acetic acid Et ester was coupled with 5-chloromethyl-4-phenethyl-2-(4-trifluoromethylphenyl)thiazole in the presence of Cs<sub>2</sub>CO<sub>3</sub> in MeCN to give the (phenylthiomethyl)thiazole (83.5%), which was saponified with LiOH in THF to provide II. I and their pharmaceutical compns. are useful for the prevention and or treatment of diabetes mellitus and atherosclerosis (no data).

IT 592518-79-1P, [3-[2-[5-Ethyl-2-(4-trifluoromethylphenyl)thiazol-4-yl]ethoxy]phenyl]acetic acid 592518-87-1P, [3-[2-[5-Propyl-2-(4-trifluoromethylphenyl)thiazol-4-yl]ethoxy]phenyl]acetic acid  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PPAR agonist; preparation of PPAR agonists for treating diabetes mellitus and atherosclerosis)

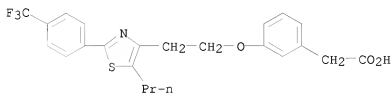
RN 592518-79-1 CAPLUS

CN Benzeneacetic acid, 3-[2-[5-ethyl-2-[4-(trifluoromethyl)phenyl]-4-thiazolyl]ethoxy]- (CA INDEX NAME)



RN 592518-87-1 CAPLUS

CN Benzenecetic acid, 3-[2-[5-propyl-2-[4-(trifluoromethyl)phenyl]-4-thiazolyl]ethoxy]- (CA INDEX NAME)

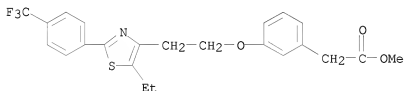


IT 592518-82-6P, [3-[2-[5-Ethyl-2-[4-(trifluoromethyl)phenyl]thiazol-4-yl]ethoxy]phenyl]acetic acid methyl ester

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate; preparation of PPAR agonists for treating diabetes mellitus and atherosclerosis)

RN 592518-82-6 CAPLUS

CN Benzenecetic acid, 3-[2-[5-ethyl-2-[4-(trifluoromethyl)phenyl]-4-thiazolyl]ethoxy]-, methyl ester (CA INDEX NAME)



REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:696734 CAPLUS

DOCUMENT NUMBER: 139:230768

TITLE: Preparation of (arylalkyl)thiazoles and oxazoles as peroxisome proliferator activated receptor modulators for treating diabetes mellitus, syndrome X, and cardiovascular disease

INVENTOR(S): Conner, Scott Eugene; Knobelsdorf, James Allen; Mantio, Nathan Bryan; Schkeryantz, Jeffrey Michael; Shen, Quanrong; Warshawsky, Alan M.; Zhu, Guoxin

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 223 pp.

CODEN: PIXXD2

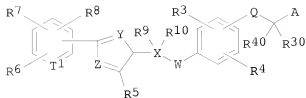
DOCUMENT TYPE: Patent

LANGUAGE: English

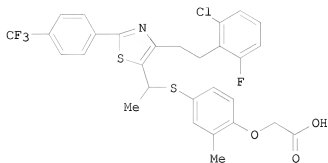
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003072100	A1	20030904	WO 2003-US2679	20030213
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003217274	A1	20030909	AU 2003-217274	20030213
EP 1480640	A1	20041201	EP 2003-713316	20030213
EP 1480640	B1	20070815		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2005529077	T	20050929	JP 2003-570846	20030213
AT 369855	T	20070915	AT 2003-713316	20030213
ES 2290439	T3	20080216	ES 2003-713316	20030213
US 2005107449	A1	20050519	US 2004-505089	20040817
US 7153878	B2	20061226		
PRIORITY APPLN. INFO.:			US 2002-359808P	P 20020225
			WO 2003-US2679	W 20030213
OTHER SOURCE(S):	MARPAT 139:230768			
GI				



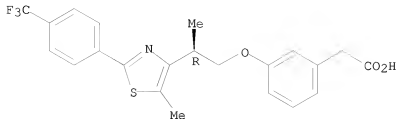
I



II

- AB Title compds. I [wherein R3, R4, R30, and R40= independently H, alkyl, halo, or alkoxy; R5 = (un)substituted alkyl, alkenyl, aryl(oxy)alkyl, or arylthioalkyl; or when R5 = alkyl, R5 may be combined with W to form a heterocycloalkyl fused to the oxazole or thiazole ring; R6 = trihalomethyl, trihalomethoxy, (hydroxy)alkyl, alkylcarbamoyl, tetramethyldioxaborolanyl, halo, alkanoyl, carboxyalkoxy, (cyclo)alkoxy, tetrahydropyranyloxy, morpholinyl, or (un)substituted aryloxy, arylthio, heterocyclyloxy, pyridinyl, pyrimidinyl, pyrazinyl, or arylalkyl; R7 and R8 = independently H, CF3, or alkyl; R9 = (un)substituted (aryl)alkyl or alkenyl; R10 = H or alkyl; Q = a bond, O, or CH2; T1 = C or N; W = CH2, O, OCH2, S, SO2, or (un)substituted CONH, NH, or NHCH2; X = C, CH2C, or CCH2; Y and Z = independently O, N, or S wherein at least 1 of Y and Z = O or S; A = CO2H, alkynitrile, CONH2, or (CH2)nCO2R19; n = 0-3; R19 = H or alkyl; and pharmaceutically acceptable salts thereof] were prepared as peroxisome proliferator activated receptor  $\delta$  (PPAR $\delta$ ) modulators (no data). For example, (4-mercapto-2-methylphenoxy)acetic acid Et ester was condensed with 1-[4-[2-(2-chloro-6-fluorophenyl)ethyl]-2-(4-trifluoromethylphenyl)thiazol-5-yl]ethanol in the presence of PBU3 and 1,1'-(azodicarbonyl)bipiperidine in toluene. Deesterification with LiOH in THF produced II. I and their pharmaceutical compns. are useful for the prevention and/or treatment of diabetes mellitus, syndrome X, and cardiovascular disease (no data).
- IT 591776-04-4P, (R)-[3-[2-[5-Methyl-2-(4-trifluoromethylphenyl)thiazol-4-yl]propoxy]phenyl]acetic acid  
 591776-21-5P, (S)-[3-[2-[5-Methyl-2-(4-trifluoromethylphenyl)thiazol-4-yl]propoxy]phenyl]acetic acid  
 591776-25-9P, [3-[2-[5-Ethyl-2-(4-trifluoromethylphenyl)thiazol-4-yl]propoxy]phenyl]acetic acid  
 591776-84-0P, [3-[2-[5-Methyl-2-(4-trifluoromethylphenyl)oxazol-4-yl]propoxy]phenyl]acetic acid  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (PPAR modulator; preparation of PPAR modulators for treating diabetes mellitus, syndrome X, and cardiovascular disease)
- RN 591776-04-4 CAPLUS
- CN Benzeneacetic acid, 3-[2(R)-2-[5-methyl-2-[4-(trifluoromethyl)phenyl]-4-thiazolyl]propoxy]- (CA INDEX NAME)

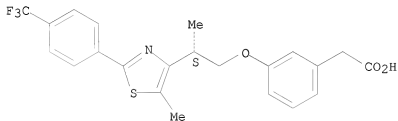
Absolute stereochemistry.



RN 591776-21-5 CAPLUS

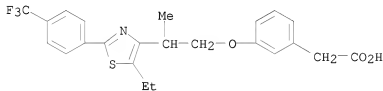
CN Benzeneacetic acid, 3-[(2S)-2-[5-methyl-2-[4-(trifluoromethyl)phenyl]-4-thiazolyl]propoxy]- (CA INDEX NAME)

Absolute stereochemistry.



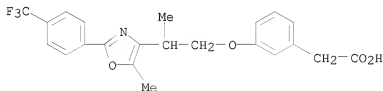
RN 591776-25-9 CAPLUS

CN Benzeneacetic acid, 3-[2-[5-ethyl-2-[4-(trifluoromethyl)phenyl]-4-thiazolyl]propoxy]- (CA INDEX NAME)



RN 591776-84-0 CAPLUS

CN Benzeneacetic acid, 3-[2-[5-methyl-2-[4-(trifluoromethyl)phenyl]-4-oxazolyl]propoxy]- (CA INDEX NAME)



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



L4 ANSWER 10 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:656421 CAPLUS

DOCUMENT NUMBER: 139:197489

TITLE: Preparation of azolecarboxylic acids useful as

antidiabetic and antiobesity agents

INVENTOR(S): Cheng, Peter T.; Zhang, Hao; Hariharan, Narayanan

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: U.S. Pat. Appl. Publ., 81 pp., Cont.-in-part of U.S.

Ser. No. 153,454.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

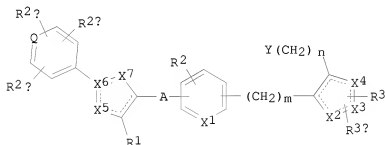
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

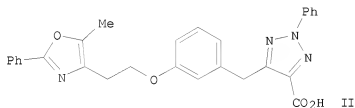
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003158232	A1	20030821	US 2002-294525	20021114
US 6967212	B2	20051122		
US 2003092736	A1	20030515	US 2002-153454	20020522
US 2005124661	A1	20050609	US 2004-12810	20041215
PRIORITY APPLN. INFO.:			US 2001-294380P	P 20010530
			US 2002-153454	A2 20020522
			US 2002-294525	A3 20021114

OTHER SOURCE(S): MARPAT 139:197489

GI



I



II

AB Title compds. [I; m, n = 0-2; Q = C, N; A = (CH<sub>2</sub>)<sub>x</sub>, (CH<sub>2</sub>)<sub>x1</sub>, (CH<sub>2</sub>)<sub>x2</sub>(CH<sub>2</sub>)<sub>x3</sub>; x = 1-5; x1 = 2-5; x2, x3 = 0-5; ≥1 of x2, x3 ≠ 0; X1 = CH, N; X2, X3, X4, X5, X7 = C, N, O, S; in each of X1-X7, C may include CH; R1 = H, alkyl; R2 = H, alkyl, alkoxy, halo, (substituted) amino; R2a, R2b and R2c = H, alkyl, alkoxy, halo, (substituted) amino; R3, R3a = H, alkyl, arylalkyl, aryloxy, carbonyl, alkyloxy, carbonyl, alkenyloxy, carbonyl, alkenyloxy, carbonyl, aryl, carbonyl, etc.; Y = CO<sub>2</sub>R4, 1-tetrazolyl, P(O)(OR4a)R5, P(O)(OR4a)<sub>2</sub>; R4 = H, alkyl, prodrug ester; R4a = H, prodrug ester; R5 = alkyl, aryl; with provisos], were prepared as simultaneous inhibitors of peroxisome proliferator activated receptor-γ (PPARγ) and stimulators of peroxisome proliferator activated receptor-α (PPARα). Thus, title compound

(II) (prepared starting from Meldrum's acid 3-methoxyphenylacetyl chloride) bound to human PPAR $\alpha$  and to PPAR $\gamma$  ligand binding domains with IC50 = 69 nM.

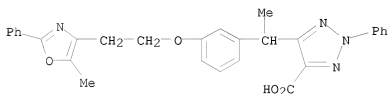
IT 477773-78-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; prepn of azolecarboxylic acids useful as antidiabetic and antiobesity agents)

RN 477773-78-7 CAPLUS

CN 2H-1,2,3-Triazole-4-carboxylic acid, 5-[1-[3-(2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy)phenyl]ethyl]-2-phenyl- (CA INDEX NAME)



IT 244149-78-8P 244151-17-5P 477773-87-8P

477773-88-9P 477773-89-0P 477773-90-3P

477774-09-7P 477774-28-0P 477774-29-1P

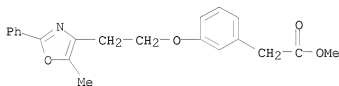
477774-30-4P 477774-36-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn of azolecarboxylic acids useful as antidiabetic and antiobesity agents)

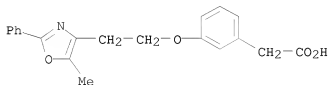
RN 244149-78-8 CAPLUS

CN Benzenecetic acid, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-, methyl ester (CA INDEX NAME)



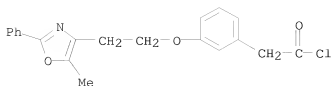
RN 244151-17-5 CAPLUS

CN Benzenecetic acid, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (CA INDEX NAME)



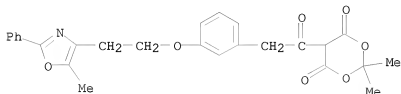
RN 477773-87-8 CAPLUS

CN Benzenecetyl chloride, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (CA INDEX NAME)



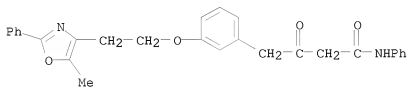
RN 477773-88-9 CAPLUS

CN 1,3-Dioxane-4,6-dione, 2,2-dimethyl-5-[[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]acetyl]- (9CI) (CA INDEX NAME)



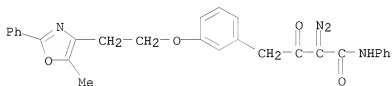
RN 477773-89-0 CAPLUS

CN Benzenebutanamide, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-β-oxo-N-phenyl- (CA INDEX NAME)



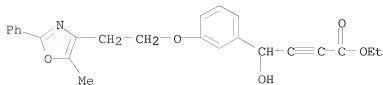
RN 477773-90-3 CAPLUS

CN Benzenebutanamide, α-diazo-3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-β-oxo-N-phenyl- (CA INDEX NAME)



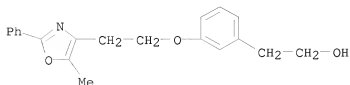
RN 477774-09-7 CAPLUS

CN 2-Butynoic acid, 4-hydroxy-4-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]-, ethyl ester (CA INDEX NAME)



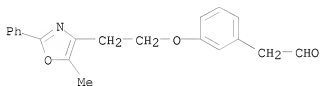
RN 477774-28-0 CAPLUS

CN Benzeneethanol, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (CA INDEX NAME)



RN 477774-29-1 CAPLUS

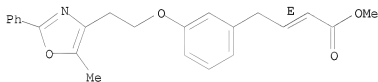
CN Benzeneacetaldehyde, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (CA INDEX NAME)



RN 477774-30-4 CAPLUS

CN 2-Butenoic acid, 4-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

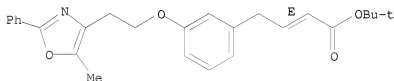
Double bond geometry as shown.



RN 477774-36-0 CAPLUS

CN 2-Butenoic acid, 4-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]-, 1,1-dimethylethyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



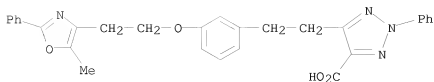
IT 585569-11-5P 585569-19-3P 585569-27-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azolecarboxylic acids useful as antidiabetic and antiobesity agents)

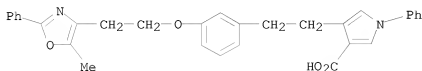
RN 585569-11-5 CAPLUS

CN 2H-1,2,3-Triazole-4-carboxylic acid, 5-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-2-phenyl- (CA INDEX NAME)



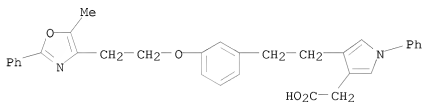
RN 585569-19-3 CAPLUS

CN 1H-Pyrrole-3-carboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-1-phenyl- (CA INDEX NAME)



RN 585569-27-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-1-phenyl- (CA INDEX NAME)



IT 585569-18-2P 585569-20-6P 585569-21-7P

585569-22-8P 585569-23-9P 585569-24-0P

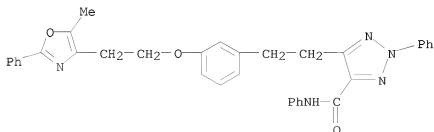
585569-25-1P 585569-26-2P 585569-36-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of azolecarboxylic acids useful as antidiabetic and antiobesity agents)

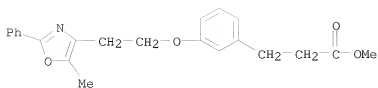
RN 585569-18-2 CAPLUS

CN 2H-1,2,3-Triazole-4-carboxamide, 5-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-N,2-diphenyl- (CA INDEX NAME)



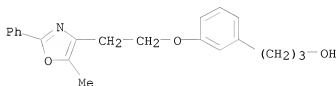
RN 585569-20-6 CAPLUS

CN Benzenepropanoic acid, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-, methyl ester (CA INDEX NAME)



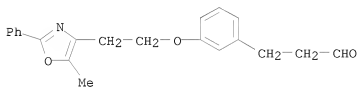
RN 585569-21-7 CAPLUS

CN Benzenepropanol, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (CA INDEX NAME)



RN 585569-22-8 CAPLUS

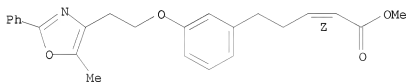
CN Benzenepropanal, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (CA INDEX NAME)



RN 585569-23-9 CAPLUS

CN 2-Pentenoic acid, 5-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]-, methyl ester, (2Z)- (CA INDEX NAME)

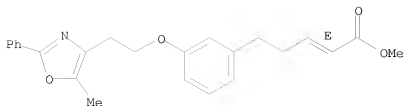
Double bond geometry as shown.



RN 585569-24-0 CAPLUS

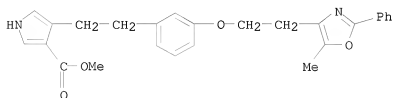
CN 2-Pentenoic acid, 5-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



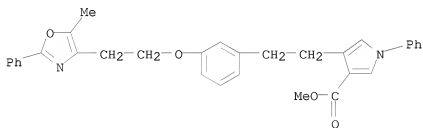
RN 585569-25-1 CAPLUS

CN 1H-Pyrrole-3-carboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, methyl ester (CA INDEX NAME)



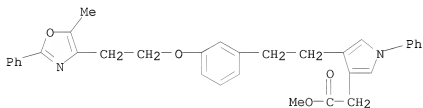
RN 585569-26-2 CAPLUS

CN 1H-Pyrrole-3-carboxylic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-1-phenyl-, methyl ester (CA INDEX NAME)



RN 585569-36-4 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 4-[2-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-1-phenyl-, methyl ester (CA INDEX NAME)



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:964190 CAPLUS

DOCUMENT NUMBER: 138:39272

TITLE: Preparation of 3-(oxazolylalkoxyphenyl)propionic acids and analogs as modulators of peroxisome proliferator activated receptors for treatment of diabetes and related conditions

INVENTOR(S): Gossett, Lynn Stacy; Green, Jonathan Edward; Henry, James Robert; Jones, Winton Dennis, Jr.; Matthews, Donald Paul; Shen, Quan Rong; Smith, Daryl Lynn; Vance, Jennifer Ann; Warshawsky, Alan M.

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 438 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

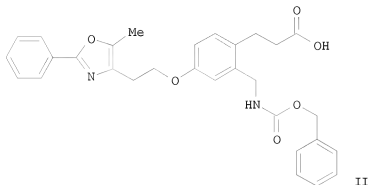
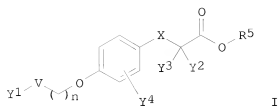
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100403	A1	20021219	WO 2002-US15143	20020524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2448552	A1	20021219	CA 2002-2448552	20020524
AU 2002316105	A1	20021223	AU 2002-316105	20020524
NZ 529550	A	20031219	NZ 2002-529550	20020524
EP 1401434	A1	20040331	EP 2002-746380	20020524
EP 1401434	B1	20061115		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002010167	A	20040406	BR 2002-10167	20020524
HU 2004000268	A2	20040728	HU 2004-268	20020524
JP 2005502600	T	20050127	JP 2003-503224	20020524
CN 1578659	A	20050209	CN 2002-815453	20020524
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ES 2275887	T3	20070616	ES 2002-746380	20020524
US 2005075378	A1	20050407	US 2003-477405	20031112
US 7282501	B2	20071016		
ZA 2003009059	A	20050810	ZA 2003-9059	20031120
MX 2003PA10903	A	20040217	MX 2003-PA10903	20031127
IN 2003KN01573	A	20060317	IN 2003-KN1573	20031203
PRIORITY APPLN. INFO.:			US 2001-296701P	P 20010607
			WO 2002-US15143	W 20020524

OTHER SOURCE(S): MARPAT 138:39272

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AB Title compds. I [wherein n = 2-5; V = a bond or O; X = CH<sub>2</sub> or O; p = 0 or 1; m = 1-4; Y<sub>1</sub> = (un)substituted (hetero)aryl; Y<sub>2</sub> and Y<sub>3</sub> = independently H, alkyl, or alkoxy; Y<sub>4</sub> = (un)substituted alk(en/yn)ylaminoalkyl, carboxyaminoalkyl, (thio)ureidoalkyl, carbamoylalkyl, aminoalkyl, alkoxyalkyl, alkylthioalkyl, or CN; R<sub>5</sub> = H or alkyl; and pharmaceutically acceptable salts, solvates, hydrates, or stereoisomers thereof] were prepared as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, 3-[2-(1,3-dioxo-1,3-dihydroisoindolo-2-ylmethyl)-4-hydroxyphenyl]propionic acid tert-Bu ester was coupled with toluene-4-sulfonic acid 2-(5-methyl-2-phenyloxazol-4-yl)ethyl ester in the presence of Cs<sub>2</sub>CO<sub>3</sub> in DMF. Deprotection of the amine using NaBH<sub>4</sub> in isopropanol followed by conversion to the carbamate and deesterification gave II. I are useful for the treatment of Syndrome X, Type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to Syndrome X, as well as cardiovascular diseases (no data).

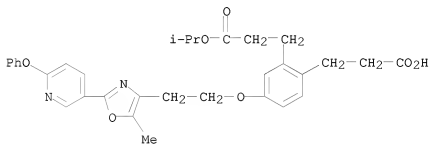
IT 478541-73-0P, 3-[2-(2-Isopropoxycarbonyl)ethyl]-4-[2-[5-methyl-2-(6-phenoxypyridin-3-yl)oxazol-4-yl]ethoxy]phenyl]propionic acid  
 478543-87-2P, 3-[2-(2-Isopropoxycarbonylaminoethyl)-4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl]propionic acid 478543-95-2P,  
 3-[2-[2-(Butylsulfonylamino)ethyl]-4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl]propionic acid 478543-96-3P,  
 3-[4-[2-(5-Methyl-2-phenyloxazol-4-yl)ethoxy]-2-[2-[(2-pyridylcarbonyl)amino]ethyl]phenyl]propionic acid 478543-97-4P,  
 3-[2-[2-[(2,5-Dichloro-3-thienylcarbonyl)amino]ethyl]-4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl]propionic acid 478543-98-5P  
 478543-99-6P, 3-[2-[2-(Cyclobutylcarbonylamino)ethyl]-4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl]propionic acid  
 478544-00-2P, 3-[2-(2-Benzoylaminoethyl)-4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl]propionic acid 478544-01-3P,  
 3-[2-(2-Isobutoxycarbonylaminoethyl)-4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl]propionic acid 478544-02-4P,  
 3-[2-(2-Benzoyloxycarbonylaminoethyl)-4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl]propionic acid 478544-03-5P,  
 3-[2-(2-Isopropoxycarbonylaminoethyl)-4-[2-[5-methyl-2-[4-(morpholin-4-yl)phenyl]oxazol-4-yl]ethoxy]phenyl]propionic acid 478544-05-7P,

3-[4-[2-(2-(Biphenyl-3-yl)-5-methyloxazol-4-yl)ethoxy]-2-[2-(isopropoxycarbonylamino)ethyl]phenyl]propionic acid 478544-06-8P, 3-[4-[2-(2-(Biphenyl-4-yl)-5-methyloxazol-4-yl)ethoxy]-2-(2-isopropoxycarbonylaminoethyl)phenyl]propionic acid 478544-07-9P, 3-[2-(2-Isopropoxycarbonylaminoethyl)-4-[2-(5-methyl-2-(morpholin-4-yl)thiazol-4-yl)ethoxy]phenyl]propionic acid 478544-08-0P, 3-[2-(2-Isopropoxycarbonylaminoethyl)-4-[2-(5-methyl-2-(pyridin-2-yl)thiazol-4-yl)ethoxy]phenyl]propionic acid 478544-10-4P, 3-[2-(2-Isopropoxycarbonylaminoethyl)-4-[2-[5-methyl-2-(4-phenylaminophenyl)oxazol-4-yl]ethoxy]phenyl]propionic acid 478544-11-5P, 3-[2-(2-Isopropoxycarbonylaminoethyl)-4-[2-[5-methyl-2-[4-(methylphenylamino)phenyl]oxazol-4-yl]ethoxy]phenyl]propionic acid  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PPAR modulator; preparation of (oxazolylalkoxyphenyl)propionic acids and analogs as PPAR modulators for treatment of diabetes and related conditions)

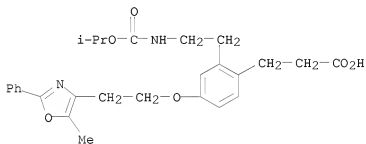
RN 478541-73-0 CAPLUS

CN 1,2-Benzenedipropanoic acid, 4-[2-[5-methyl-2-(6-phenoxy-3-pyridinyl)-4-oxazolyl]ethoxy]-,  $\alpha$ 2-(1-methylethyl) ester (9CI) (CA INDEX NAME)



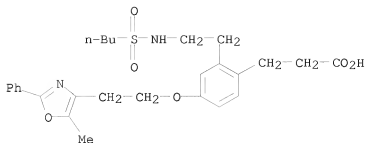
RN 478543-87-2 CAPLUS

CN Benzenepropanoic acid, 2-[2-[(1-methylethoxy)carbonyl]amino]ethyl]-4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (CA INDEX NAME)



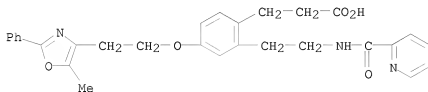
RN 478543-95-2 CAPLUS

CN Benzenepropanoic acid, 2-[2-[(butylsulfonyl)amino]ethyl]-4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (CA INDEX NAME)



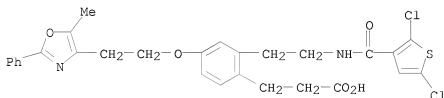
RN 478543-96-3 CAPLUS

CN Benzenepropanoic acid, 4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-2-[2-[(2-pyridinylcarbonyl)amino]ethyl]- (CA INDEX NAME)



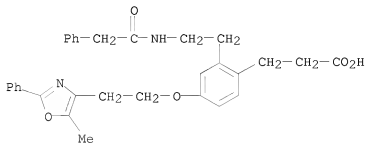
RN 478543-97-4 CAPLUS

CN Benzenepropanoic acid, 2-[2-[(2,5-dichloro-3-thienyl)carbonyl]amino]ethyl]-4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (CA INDEX NAME)



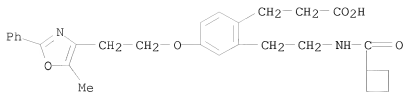
RN 478543-98-5 CAPLUS

CN Benzenepropanoic acid, 4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-2-[2-[(phenylacetyl)amino]ethyl]- (9CI) (CA INDEX NAME)



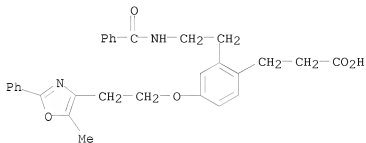
RN 478543-99-6 CAPLUS

CN Benzenepropanoic acid, 2-[2-[(cyclobutylcarbonyl)amino]ethyl]-4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (CA INDEX NAME)



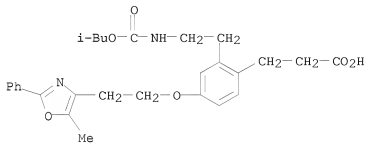
RN 478544-00-2 CAPLUS

CN Benzenepropanoic acid, 2-[2-(benzoylamino)ethyl]-4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (CA INDEX NAME)



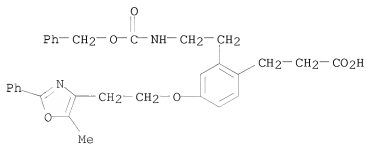
RN 478544-01-3 CAPLUS

CN Benzenepropanoic acid, 4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-2-[[2-(2-methylpropoxy)carbonyl]amino]ethyl]- (CA INDEX NAME)



RN 478544-02-4 CAPLUS

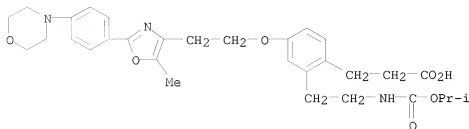
CN Benzenepropanoic acid, 4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-2-[2-[(phenylmethoxy)carbonyl]amino]ethyl]- (CA INDEX NAME)



RN 478544-03-5 CAPLUS

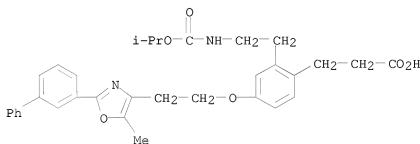
CN Benzenepropanoic acid, 2-[2-[[2-(1-methylethoxy)carbonyl]amino]ethyl]-4-[2-

[5-methyl-2-[4-(4-morpholinyl)phenyl]-4-oxazolyl]ethoxy]- (CA INDEX NAME)



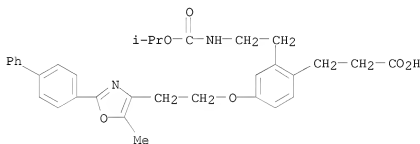
RN 478544-05-7 CAPLUS

CN Benzenepropanoic acid, 4-[2-(2-[1,1'-biphenyl]-3-yl-5-methyl-4-oxazolyl)ethoxy]-2-[2-[(1-methylethoxy)carbonyl]amino]ethyl]- (CA INDEX NAME)



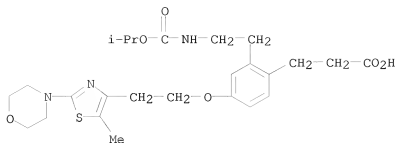
RN 478544-06-8 CAPLUS

CN Benzenepropanoic acid, 4-[2-(2-[1,1'-biphenyl]-4-yl-5-methyl-4-oxazolyl)ethoxy]-2-[2-[(1-methylethoxy)carbonyl]amino]ethyl]- (CA INDEX NAME)



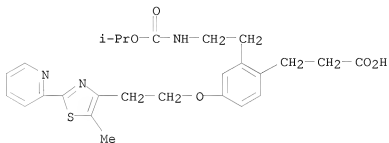
RN 478544-07-9 CAPLUS

CN Benzenepropanoic acid, 2-[2-[(1-methylethoxy)carbonyl]amino]ethyl]-4-[2-[5-methyl-2-(4-morpholinyl)-4-thiazolyl]ethoxy]- (CA INDEX NAME)



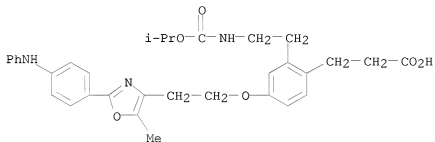
RN 478544-08-0 CAPLUS

CN Benzenepropanoic acid, 2-[2-[[[(1-methylethoxy)carbonyl]amino]ethyl]-4-[2-[5-methyl-2-(2-pyridinyl)-4-thiazolyl]ethoxy]- (CA INDEX NAME)



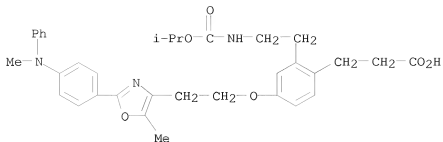
RN 478544-10-4 CAPLUS

CN Benzenepropanoic acid, 2-[2-[[[(1-methylethoxy)carbonyl]amino]ethyl]-4-[2-[5-methyl-2-[4-(phenylamino)phenyl]-4-oxazolyl]ethoxy]- (CA INDEX NAME)



RN 478544-11-5 CAPLUS

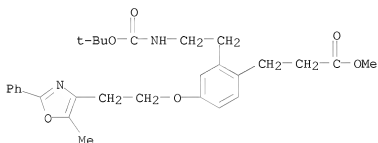
CN Benzenepropanoic acid, 2-[2-[[[(1-methylethoxy)carbonyl]amino]ethyl]-4-[2-[5-methyl-2-[4-(methylphenylamino)phenyl]-4-oxazolyl]ethoxy]- (CA INDEX NAME)



IT 478543-92-9P, 3-[2-(2-tert-Butoxycarbonylaminoethyl)-4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl]propionic acid methyl ester 478543-93-0P, 3-[2-(2-Aminoethyl)-4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl]propionic acid methyl ester 478543-94-1P, 3-[2-(2-Isopropoxycarbonylaminoethyl)-4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl]propionic acid ethyl ester  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of (oxazolylalkoxyphenyl)propionic acids and analogs as PPAR modulators for treatment of diabetes and related conditions)

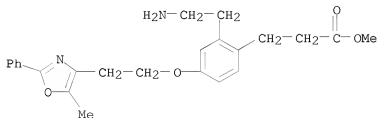
RN 478543-92-9 CAPLUS

CN Benzenepropanoic acid, 2-[2-[[1,1-dimethylethoxy]carbonyl]amino]ethyl]-4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-, methyl ester (CA INDEX NAME)



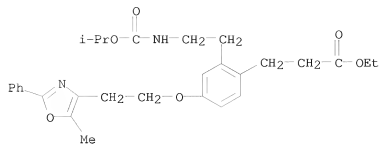
RN 478543-93-0 CAPLUS

CN Benzenepropanoic acid, 2-(2-aminoethyl)-4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-, methyl ester (CA INDEX NAME)



RN 478543-94-1 CAPLUS

CN Benzenepropanoic acid, 2-[2-[[1-methylethoxy]carbonyl]amino]ethyl]-4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



L4 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:927185 CAPLUS

DOCUMENT NUMBER: 138:24716

TITLE: Preparation of azolecarboxylic acids useful as antidiabetic and antiobesity agents

INVENTOR(S): Cheng, Peter T.; Zhang, Hao; Hariharan, Narayanan

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 169 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

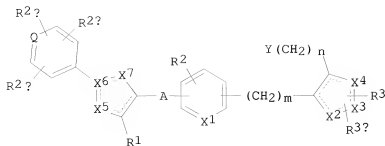
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

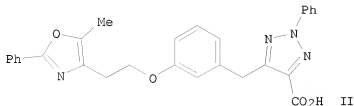
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096358	A2	20021205	WO 2002-US16633	20020523
WO 2002096358	A3	20030327		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2449160	A1	20021205	CA 2002-2449160	20020523
AU 2002259306	A1	20021209	AU 2002-259306	20020523
AU 2002259306	B2	20070208		
EP 1390363	A2	20040225	EP 2002-729306	20020523
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
TR 200400650	T3	20040621	TR 2004-650	20020523
HU 2004001504	A2	20041129	HU 2004-1504	20020523
JP 2004536070	T	20041202	JP 2002-592871	20020523
TW 235061	B	20050701	TW 2002-91111100	20020524
MX 2003PA10997	A	20040227	MX 2003-PA10997	20031128
PRIORITY APPLN. INFO.:			US 2001-294380P	P 20010530
			WO 2002-US16633	W 20020523

OTHER SOURCE(S): MARPAT 138:24716

GI



I



II

AB Title compds. [I; m, n = 0-2; Q = C, N; A = (CH<sub>2</sub>)<sub>x</sub>, (CH<sub>2</sub>)<sub>x</sub>1, (CH<sub>2</sub>)<sub>x</sub>20(CH<sub>2</sub>)<sub>x</sub>3; x = 1-5; x<sub>1</sub> = 2-5; x<sub>2</sub>, x<sub>3</sub> = 0-5; ≥1 of x<sub>2</sub>, x<sub>3</sub> ≠ 0; X<sub>1</sub> = CH, N; X<sub>2</sub>, X<sub>3</sub>, X<sub>4</sub>, X<sub>5</sub>, X<sub>7</sub> = C, N, O, S; in each of X<sub>1</sub>-X<sub>7</sub>, C may include CH; R<sub>1</sub> = H, alkyl; R<sub>2</sub> = H, alkyl, alkoxy, halo, (substituted) amino; R<sub>2a</sub>, R<sub>2b</sub> and R<sub>2c</sub> = H, alkyl, alkoxy, halo, (substituted) amino; R<sub>3</sub>, R<sub>3a</sub> = H, alkyl, arylalkyl, aryloxy, carbonyl, alkyloxy, carbonyl, alkenyloxy, carbonyl, alkenyloxy, carbonyl, aryl, carbonyl, alkyloxy, carbonyl, aryl, heteroaryl, alkyl(halo)aryloxy, carbonyl, alkoxy(halo)aryloxy, carbonyl, cycloalkylaryloxy, carbonyl, cycloalkoxyaryloxy, carbonyl, cycloheteroalkyl, heteroaryl, carbonyl, heteroaryl, heteroarylalkyl, alkyl, carbonyl, amino, aryl, carbonyl, amino, heteroaryl, carbonyl, amino, alkoxy, carbonyl, amino, aryloxy, carbonyl, amino, heteroaryl, heteroaryl, carbonyl, alkyl, sulfonyl, alkenyl, sulfonyl, heteroaryl, aryloxy, carbonyl, cycloheteroalkoxy, carbonyl, heteroaryl, alkyl, aminocarbonyl, substituted aminocarbonyl, alkyl, aminocarbonyl, aryl, aminocarbonyl, aryloxy, arylalkyl, alkenyloxy, carbonyl, haloalkoxy, aryloxy, carbonyl, alkoxy, carbonyl, aryloxy, carbonyl, aryloxy, aryloxy, carbonyl, aryl, sulfinyl, aryl, carbonyl, etc.; Y = CO<sub>2</sub>R<sub>4</sub>, 1-tetrazolyl, P(O)(OR<sub>4a</sub>)R<sub>5</sub>, P(O)(OR<sub>4a</sub>)<sub>2</sub>; R<sub>4</sub> = H, alkyl, prodrug ester; R<sub>4a</sub> = H, prodrug ester; R<sub>5</sub> = alkyl, aryl; with provisos], were prepared as simultaneous inhibitors of peroxisome proliferator activated receptor-γ (PPARγ) and stimulators of peroxisome proliferator activated receptor-α (PPARα). Thus, title compound (II) (prepared starting from Meldrum's acid 3-methoxyphenylacetyl chloride) bound to human PPARα and to PPARγ ligand binding domains with IC<sub>50</sub> = 69 nM.

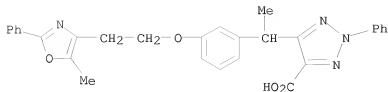
IT 477773-78-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

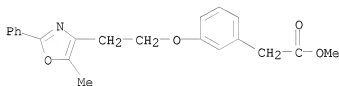
(claimed compound; prep of azolecarboxylic acids useful as antidiabetic and antiobesity agents)

RN 477773-78-7 CAPLUS

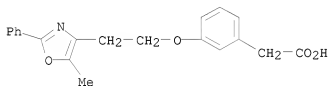
CN 2H-1,2,3-Triazole-4-carboxylic acid, 5-[1-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-2-phenyl- (CA INDEX NAME)



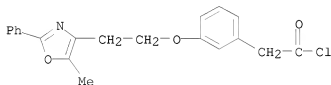
IT 244149-78-8P 244151-17-5P 477773-87-8P  
 477773-88-9P 477773-89-0P 477773-90-3P  
 477774-09-7P 477774-28-0P 477774-29-1P  
 477774-30-4P 477774-36-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (prepn of azolecarboxylic acids useful as antidiabetic and antiobesity  
 agents)  
 RN 244149-78-8 CAPLUS  
 CN Benzeneacetic acid, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-, methyl  
 ester (CA INDEX NAME)



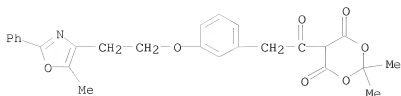
RN 244151-17-5 CAPLUS  
 CN Benzeneacetic acid, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (CA INDEX  
 NAME)



RN 477773-87-8 CAPLUS  
 CN Benzeneacetyl chloride, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (CA  
 INDEX NAME)

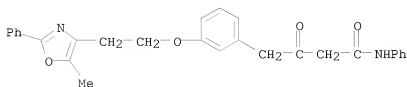


RN 477773-88-9 CAPLUS  
 CN 1,3-Dioxane-4,6-dione, 2,2-dimethyl-5-[[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]acetyl]- (9CI) (CA INDEX NAME)



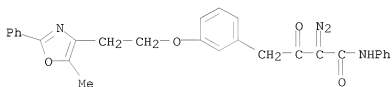
RN 477773-89-0 CAPLUS

CN Benzenebutanamide, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-β-oxo-N-phenyl- (CA INDEX NAME)



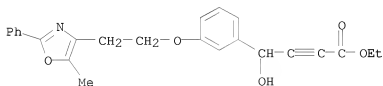
RN 477773-90-3 CAPLUS

CN Benzenebutanamide, α-diazo-3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-β-oxo-N-phenyl- (CA INDEX NAME)



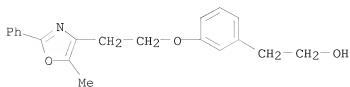
RN 477774-09-7 CAPLUS

CN 2-Butynoic acid, 4-hydroxy-4-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]-, ethyl ester (CA INDEX NAME)



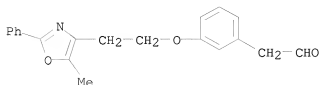
RN 477774-28-0 CAPLUS

CN Benzeneethanol, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (CA INDEX NAME)



RN 477774-29-1 CAPLUS

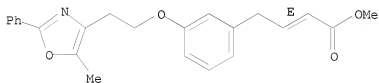
CN Benzeneacetaldehyde, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (CA INDEX NAME)



RN 477774-30-4 CAPLUS

CN 2-Butenoic acid, 4-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]-, methyl ester, (2E)- (CA INDEX NAME)

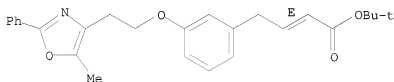
Double bond geometry as shown.



RN 477774-36-0 CAPLUS

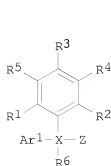
CN 2-Butenoic acid, 4-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]-, 1,1-dimethylethyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

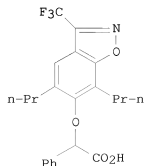


L4 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2002:637483 CAPLUS  
 DOCUMENT NUMBER: 137:185311  
 TITLE: Preparation of 2-aryloxy-2-arylalkanoic acids for diabetes and lipid disorders  
 INVENTOR(S): Adams, Alan D.; Jones, A. Brian; Berger, Joel P.; Dropinski, James F.; Elbrecht, Alexander; Liu, Kun; Macnaul, Karen Lamb; Shi, Guo-qiang; Von, Langen Derek J.; Zhou, Gaochao  
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
 SOURCE: PCT Int. Appl., 157 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064094	A2	20020822	WO 2002-US4680	20020205
WO 2002064094	A3	20030612		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2437118	A1	20020822	CA 2002-2437118	20020205
AU 2002251978	A1	20020828	AU 2002-251978	20020205
AU 2002251978	B2	20070719		
EP 1366012	A2	20031203	EP 2002-721022	20020205
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004521124	T	20040715	JP 2002-563891	20020205
US 2004092596	A1	20040513	US 2003-470954	20030730
US 7091230	B2	20060815		
US 2006122242	A1	20060608	US 2006-334152	20060118
PRIORITY APPLN. INFO.:			US 2001-267809P	P 20010209
			WO 2002-US4680	W 20020205
			US 2003-470954	A3 20030730
OTHER SOURCE(S):	MARPAT 137:185311			
GI				



I



II

AB Title compds. I [R1 = halo, alkyl, alkoxy; R2 = alkyl, alicyclic; R3 = alkyl, aryl, alicyclic, heterocycle, etc.; R4 = H, OH, alkoxy, aryloxy, halo or R3-4 may be joined together to yield 5- or 6-membered heterocycle; R5 = H, halo; R6 = H, halo, CH3, CF3; Ar1 = Ph, thienyl, thiazolyl, oxazolyl, pyridyl; X = O, S; Z = COOH, tetrazole, carboxamide] were prepared. For instance, 2,4-dipropylresorcinol was converted to 2,4-dihydroxy-3,5-dipropyl- $\alpha,\alpha,\alpha$ -trifluoroacetophenone (CH2Cl2, TFAA, AlCl3) and subsequently treated with i. hydroxylamine•HCl, MeOH, reflux; ii. Ac2O; iii. pyridine, reflux which afforded 5,7-dipropyl-6-hydroxy-3-trifluoromethyl-1,2-benzisoxazole. The benzisoxazole was reacted with Me 2-bromo-2-phenylacetate (DMF, Cs2CO3) and the product saponified to give II. I are potent agonists of the peroxisome proliferator activated receptor and are useful in the treatment of non-insulin dependent diabetes mellitus (NIDDM), hyperglycemia, dyslipidemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, obesity, vascular restenosis, inflammation, and other PPAR- $\alpha$  and/or PPAR- $\gamma$  mediated diseases.

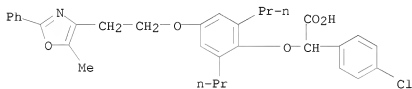
IT 449779-48-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; preparation of 2-aryloxy-2-arylalkanoic acids for diabetes and lipid disorders)

RN 449779-48-0 CAPLUS

CN Benzeneacetic acid, 4-chloro- $\alpha$ -[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-2,6-dipropylphenoxy]- (CA INDEX NAME)



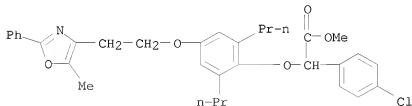
IT 449780-20-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of 2-aryloxy-2-arylalkanoic acids for diabetes and lipid disorders)

RN 449780-20-5 CAPLUS

CN Benzeneacetic acid, 4-chloro- $\alpha$ -[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-2,6-dipropylphenoxy]-, methyl ester (CA INDEX NAME)



L4 ANSWER 14 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:502825 CAPLUS

DOCUMENT NUMBER: 137:63237

TITLE: Preparation of oxazolyl- and thiazolylalkoxybenzylglycines and related compounds as antidiabetic and antiobesity agents

INVENTOR(S): Cheng, Peter T.; Devasthale, Pratik; Jeon, Yoon; Chen, Sean; Zhang, Hao

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: U.S., 190 pp., Cont.-in-part of U.S. Ser. No. 664,598.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

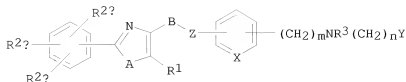
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6414002	B1	20020702	US 2001-812960	20010320
EP 1589006	A1	20051026	EP 2005-10760	20000919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
US 2003069275	A1	20030410	US 2002-80965	20020222
US 6919358	B2	20050719		
US 2003087935	A1	20030508	US 2002-81075	20020222
US 6727271	B2	20040427		
US 2003096846	A1	20030522	US 2002-80981	20020222
US 6653314	B2	20031125		
US 2004171644	A1	20040902	US 2003-655876	20030905
US 7084162	B2	20060801		
US 2004147560	A1	20040729	US 2003-737210	20031216
US 7053106	B2	20060530		
US 2005119311	A1	20050602	US 2004-964395	20041013
US 7241780	B2	20070710		
US 2007015797	A1	20070118	US 2005-155965	20050822
PRIORITY APPLN. INFO.:			US 1999-155400P	P 19990922
			US 2000-664598	A2 20000918
			EP 2000-965172	A3 20000919
			US 2001-812960	A3 20010320
			US 2002-80965	A3 20020222
			US 2002-80981	A3 20020222
			US 2002-81075	A3 20020222
			US 2003-655876	A3 20030905

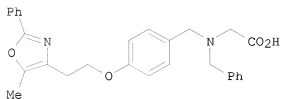
OTHER SOURCE(S): MARPAT 137:63237

GI





I



II

AB Title compds. I [wherein Q = C, N; A = O, S; B = (CH<sub>2</sub>)<sub>x</sub>; Z = O, bond; X = CH, N; R<sub>1</sub> = H, alkyl; R<sub>2</sub> = H, alkyl, alkoxy, halo, amino; R<sub>3</sub> = H, alkyl, aralkyl, aryloxycarbonyl, alkoxycarbonyl, arylcarbonyl, alkylcarbonyl, aryl, heteroaryl, hydroxyalkyl, aryloxyarylalkyl, etc.; R<sub>2a</sub>, R<sub>2b</sub>, R<sub>2c</sub> = H, alkyl, alkoxy, halo, amino; Y = CO<sub>2</sub>R<sub>4</sub>, 1-tetrazolyl, PO(OR<sub>4a</sub>)R<sub>5</sub>; R<sub>4</sub> = H, alkyl, prodrug or ester; R<sub>4a</sub> = H, prodrug ester; R<sub>5</sub> = alkyl, aryl; x = 1-4; m, n = 1, 2] were prepared as modulators of blood glucose levels, triglyceride levels, insulin levels, and non-esterified fatty acid levels (no data). For example, 4-hydroxybenzaldehyde, 5-methyl-2-phenyloxazole-4-ethanol, Ph3P, and DEAD were stirred in THF at 0°-room temperature to give 4-(5-methyl-2-phenyloxazole-4-ethyl)benzaldehyde (65%). Addition of N-benzylglycine Et ester and NaBH(OAc)<sub>3</sub> in 1,2-dichloroethane afforded the benzylamine derivative (55%), which was stirred with aqueous NaOH in MeOH for

14 h

to give the title compound II (71%). I are useful for the treatment of diabetes, especially Type II diabetes, as well as hyperglycemia, hyperinsulinemia, hyperlipidemia, obesity, atherosclerosis, and related diseases (no data).

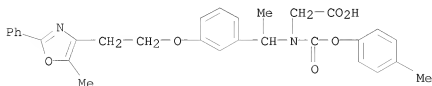
IT 331744-63-9P, Glycine, N-[(4-methylphenoxy)carbonyl]-N-[1-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]- 331744-77-5P, Glycine, N-[(4-methoxyphenoxy)carbonyl]-N-[1-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of oxazolyl- and thiazolylalkoxybenzylglycines and related compds. as antidiabetic and antiobesity agents)

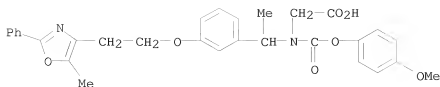
RN 331744-63-9 CAPLUS

CN Glycine, N-[(4-methylphenoxy)carbonyl]-N-[1-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]- (CA INDEX NAME)

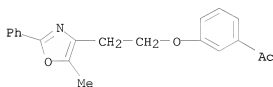


RN 331744-77-5 CAPLUS

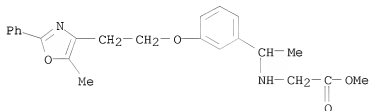
CN Glycine, N-[(4-methoxyphenoxy)carbonyl]-N-[1-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]- (CA INDEX NAME)



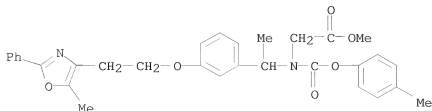
IT 174258-60-7P, Ethanone, 1-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]- 331746-06-6P, Glycine, N-[1-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, methyl ester 331746-07-7P, Glycine, N-[(4-methylphenoxy)carbonyl]-N-[1-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, methyl ester  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of oxazolyl- and thiazolylalkoxybenzylglycines and related compds. as antidiabetic and antiobesity agents)  
 RN 174258-60-7 CAPLUS  
 CN Ethanone, 1-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]- (CA INDEX NAME)



RN 331746-06-6 CAPLUS  
 CN Glycine, N-[1-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, methyl ester (CA INDEX NAME)



RN 331746-07-7 CAPLUS  
 CN Glycine, N-[(4-methylphenoxy)carbonyl]-N-[1-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-, methyl ester (CA INDEX NAME)



REFERENCE COUNT:

24

THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:171871 CAPLUS

DOCUMENT NUMBER: 136:232294

TITLE: Oxazolyl-aryloxyacetic acid derivatives and thiazole analogs and their use as PPAR agonists, e.g., as antidiabetics and hypolipidemics

INVENTOR(S): Brooks, Dawn Alisa; Connor, Scott Eugene; Dominianni, Samuel James; Godfrey, Alexander Glenn; Gossett, Lann Stacy; Rito, Christopher John; Tripp, Allie Edward; Warshawsky, Alan M.; Winneroski, Leonard Larry; Zhu, Guoxin

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 246 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002018355	A1	20020307	WO 2001-US22615	20010823
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
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CA 2420178	A1	20020307	CA 2001-2420178	20010823
AU 2001084658	A	20020313	AU 2001-84658	20010823
EP 1313715	A1	20030528	EP 2001-963732	20010823
EP 1313715	B1	20070801		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004509084	T	20040325	JP 2002-523473	20010823
AT 368653	T	20070815	AT 2001-963732	20010823
ES 2288982	T3	20080201	ES 2001-963732	20010823
US 2004024034	A1	20040205	US 2003-343474	20030129
US 6982278	B2	20060103		
US 2005250825	A1	20051110	US 2005-181640	20050714
PRIORITY APPLN. INFO.:			US 2000-227233P	P 20000823
			WO 2001-US22615	W 20010823
			US 2003-343474	A3 20030129

OTHER SOURCE(S): MARPAT 136:232294

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\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title oxazoles I and their pharmaceutically acceptable salts, solvates, and hydrates are disclosed [wherein R1 = (un)substituted aryl, heteroaryl, cycloalkyl, aryl-alkyl, heteroaryl-alkyl, or cycloalkyl-alkyl; R2 = H, alkyl, or haloalkyl; n = 2, 3, or 4, with the resultant polymethylene chain optionally containing a carbon-carbon double bond; W = O or S; Y = (un)substituted phenylene, naphthylene, or 1,2,3,4-tetrahydronaphthylene; R3 = H, alkyl, or haloalkyl; R4 = H, alkyl, haloalkyl or (un)substituted PhCH2; provided that when R3 = R4 = H, then

R2 = alkyl or haloalkyl; R5 = H, alkyl, aminoalkyl]. Approx. 120 examples are given. One example of a thiazole analog is also given. The compds. are useful for modulating a peroxisome proliferator activated receptor, particularly in the treatment of diabetes mellitus. For instance, 2-(3-bromophenyl)-4-(chloromethyl)-5-methyloxazole (prepared in 2 steps) underwent cyanation, hydrolysis to an acid, reduction to an alc., tosylation, and etherification with the corresponding phenol derivative to give intermediate bromide II. The latter compound underwent Pd-catalyzed ethynylation, hydrogenation of the ethynyl group, and alkaline hydrolysis, to give title compound III. This compound bound to human PPAR $\alpha$  and PPAR $\gamma$  receptors in vitro with IC50 values of 31 and 219 nM, resp., vs. values of 94,500 and 1180 for troglitazone, and 68,000 and 125,000 for fenofibric acid. At 30 mg/kg orally in mice (transgenic for human apoA1), III gave a 74.3% reduction in serum triglycerides and a 180% increase in high-d. lipoprotein cholesterol, vs. 41% and 48% for fenofibrate. III also gave complete normalization of blood glucose in diabetic mice at 30 mg/kg orally.

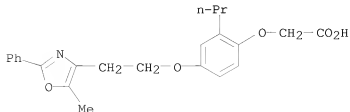
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

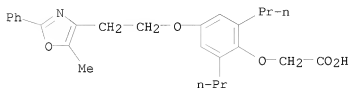
(drug candidate; preparation of oxazolyl-aryloxyacetic acid derivs. and thiazole analogs and their use as PPAR agonists)

RN 403610-41-3 CAPLUS

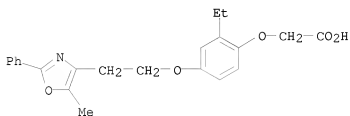
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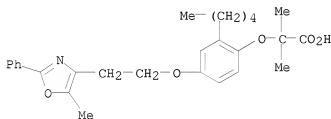
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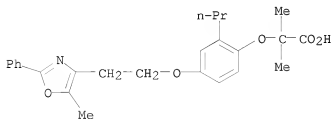
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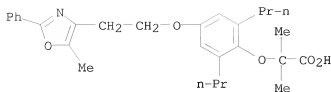
RN 403610-54-8 CAPLUS  
 CN Propanoic acid, 2-methyl-2-[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-2-pentylphenoxy]- (CA INDEX NAME)



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 CN Propanoic acid, 2-methyl-2-[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-2-propylphenoxy]- (CA INDEX NAME)

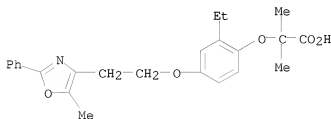


RN 403611-21-2 CAPLUS  
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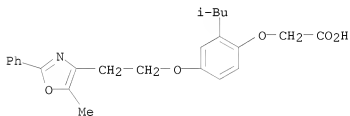
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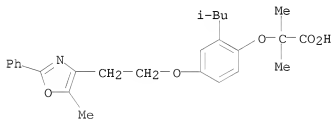
RN 403611-27-8 CAPLUS

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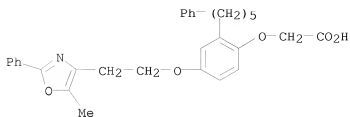
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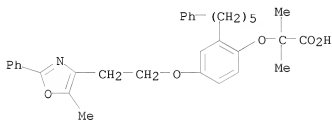
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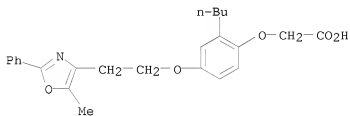
RN 403611-32-5 CAPLUS

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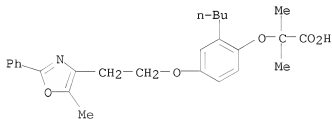
RN 403611-34-7 CAPLUS

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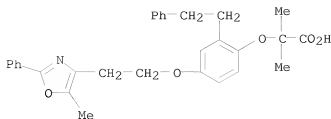
CN Propanoic acid, 2-[2-butyl-4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenoxy]-2-methyl- (CA INDEX NAME)



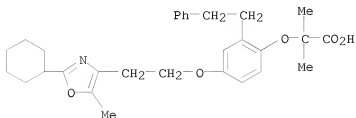
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CN Propanoic acid, 2-methyl-2-[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-2-(2-phenylethyl)phenoxy]- (CA INDEX NAME)





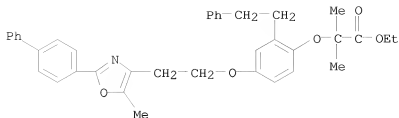
RN 403611-43-8 CAPLUS  
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 328919-43-3P, 2-[4-[2-[2-(Biphenyl-3-yl)-5-methyloxazol-4-yl]ethoxy]-2-phenethylphenoxy]-2-methylpropionic acid ethyl ester  
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 [2-Butyl-4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenoxy]acetic acid ethyl ester 403612-45-3P, 2-[2-Butyl-4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenoxy]-2-methylpropionic acid ethyl ester 403612-49-7P, 2-Methyl-2-[4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]-2-phenethylphenoxy]propionic acid ethyl ester 403612-50-0P, 2-[4-[2-(2-Cyclohexyl-5-methyloxazol-4-yl)ethoxy]-2-phenethylphenoxy]-2-methylpropionic acid ethyl ester  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of oxazolyl-aryloxyacetic acid derivs. and thiazole analogs and their use as PPAR agonists)

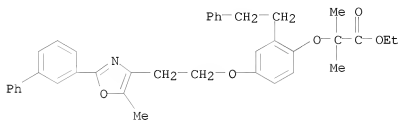
RN 328919-42-2 CAPLUS  
 CN Propanoic acid, 2-[4-[2-(2-[1,1'-biphenyl]-4-yl-5-methyl-4-oxazolyl)ethoxy]-2-(2-phenylethyl)phenoxy]-2-methyl-, ethyl ester (CA

INDEX NAME)



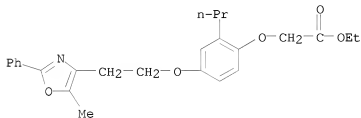
RN 328919-43-3 CAPLUS

CN Propanoic acid, 2-[4-[2-(2-[1,1'-biphenyl]-3-yl-5-methyl-4-oxazolyl)ethoxy]-2-(2-phenylethyl)phenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)



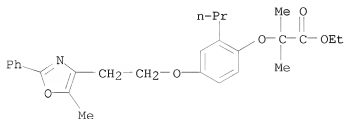
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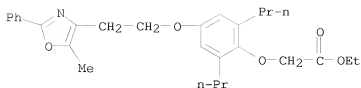
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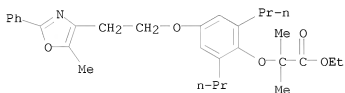
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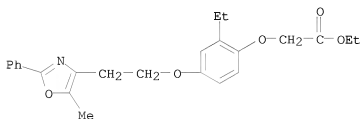
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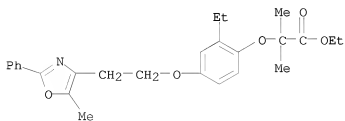
RN 403612-38-4 CAPLUS

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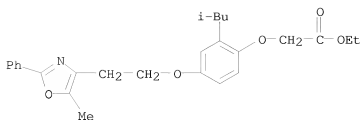
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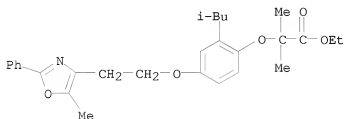
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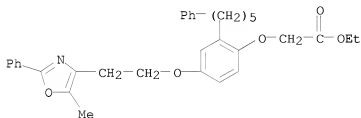
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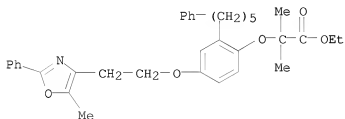
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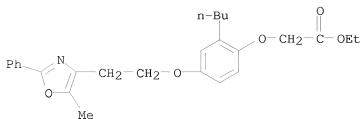
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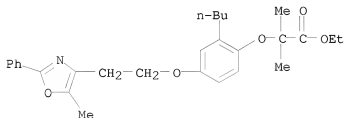
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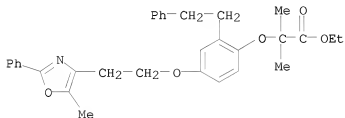
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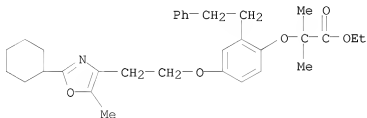
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RN 403612-50-0 CAPLUS

CN Propanoic acid, 2-[4-[2-(2-cyclohexyl-5-methyl-4-oxazolyl)ethoxy]-2-(2-phenylethyl)phenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:704703 CAPLUS

DOCUMENT NUMBER: 135:257231

TITLE: Preparation of catechol propionic acid derivatives as peroxisome proliferator-activated receptor (PPAR)  $\alpha$  and  $\gamma$  agonists

INVENTOR(S): Kadota, Hidetoshi; Fukazawa, Nobuyuki; Maruyama, Kyoko; Nakao, Toshifumi; Asada, Noriaki; Takebayashi, Nozomi; Kibayashi, Kenji; Uda, Hideyuki; Morikawa, Maki

PATENT ASSIGNEE(S): Mitsui Chemicals Inc., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.

CODEN: JKXXAF

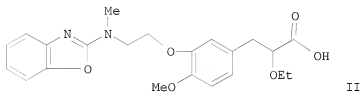
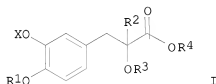
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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OTHER SOURCE(S):	MARPAT	135:257231		

GI



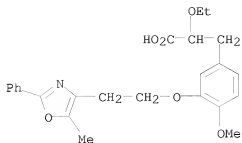
AB The title compds. I [R1 = alkyl, etc.; R2 = H, alkoxy, etc.; R3 = H, alkyl, etc.; R4 = H, alkyl, etc.; X = (un)substituted Ph, etc.] are prepared. The PPAR  $\alpha$  and  $\gamma$  agonist activities of the title compound II were demonstrated; II at 100 mg/kg gave 16% blood sugar decrease in STZ mice.

IT 362012-77-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of catechol propionic acid derivs. as peroxisome proliferator-activated receptor  $\alpha$  and  $\gamma$  agonists)

RN 362012-77-9 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -ethoxy-4-methoxy-3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (CA INDEX NAME)

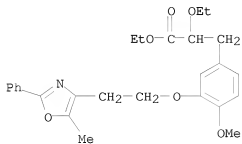


IT 362013-02-3

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of catechol propionic acid derivs. as peroxisome  
proliferator-activated receptor  $\alpha$  and  $\gamma$  agonists)

RN 362013-02-3 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -ethoxy-4-methoxy-3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-, ethyl ester (CA INDEX NAME)



L4 ANSWER 17 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:228872 CAPLUS

DOCUMENT NUMBER: 134:266299

TITLE: Preparation of oxazolyl- and thiazolylalkoxybenzylglycines and related compounds as antidiabetic and antiobesity agents.

INVENTOR(S): Cheng, Peter T. W.; Devasthale, Pratik; Jeon, Yoon T.; Chen, Sean; Zhang, Hao

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 362 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

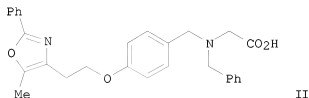
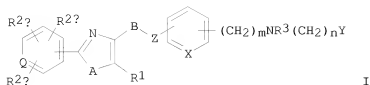
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021602	A1	20010329	WO 2000-US25710	20000919
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
TW 260321	B	20060821	TW 2000-89119155	20000918
CA 2388452	A1	20010329	CA 2000-2388452	20000919
CA 2388452	C	20070403		
EP 1218361	A1	20020703	EP 2000-965172	20000919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000014189	A	20020820	BR 2000-14189	20000919
TR 200200732	T2	20021021	TR 2002-732	20000919
JP 2003509503	T	20030311	JP 2001-524981	20000919
HU 2002004416	A2	20030428	HU 2002-4416	20000919
HU 2002004416	A3	20060130		
NZ 516820	A	20041126	NZ 2000-516820	20000919
AU 782031	B2	20050630	AU 2000-75935	20000919
EP 1589006	A1	20051026	EP 2005-10760	20000919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
RU 2279427	C2	20060710	RU 2002-108928	20000919
IN 2002DN00107	A	20070406	IN 2002-DN107	20020128
ZA 2002000937	A	20030502	ZA 2002-937	20020201
MX 2002PA01847	A	20021023	MX 2002-PA1847	20020221
NO 2002001408	A	20020514	NO 2002-1408	20020321
NO 322500	B1	20061016		
HK 1049337	A1	20070729	HK 2003-101528	20030228
PRIORITY APPLN. INFO.:			US 1999-155400P	P 19990922
			EP 2000-965172	A3 20000919
			WO 2000-US25710	W 20000919

OTHER SOURCE(S): MARPAT 134:266299

GI



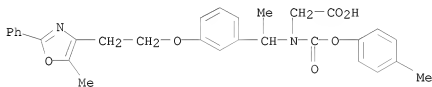


AB Title compds. [I; Q = C, N; A = O, S; B = (CH<sub>2</sub>)<sub>x</sub>; Z = O, bond; X = CH, N; R<sub>1</sub> = H, alkyl; R<sub>2</sub> = H, alkyl, alkoxy, halo, amino; R<sub>3</sub> = H, alkyl, aralkyl, aryloxy, carbonyl, alkoxy, carbonyl, aryl, carbonyl, alkyl, carbonyl, aryl, heteroaryl, hydroxyalkyl, aryloxy, arylalkyl, etc.; R<sub>2a</sub>, R<sub>2b</sub>, R<sub>2c</sub> = H, alkyl, alkoxy, halo, amino; Y = CO<sub>2</sub>R<sub>4</sub>, 1-tetrazolyl, PO(OR<sub>4</sub>)R<sub>5</sub>; R<sub>4</sub> = H, alkyl, prodrug or ester; R<sub>4a</sub> = H, prodrug ester; R<sub>5</sub> = alkyl, aryl; x = 1-4; m, n = 1, 2], were prepared as modulators of blood glucose levels, triglyceride levels, insulin levels, and non-esterified fatty acid levels (no data). Thus, 4-hydroxybenzaldehyde, 5-methyl-2-phenyloxazole-4-ethanol, Ph3P, and DEAD were stirred in THF at 0°-room temperature to give 65% 4-(5-methyl-2-phenyloxazole-4-ethyl)benzaldehyde. This was stirred 12 h with N-benzylglycine Et ester and NaBH(OAc)<sub>3</sub> in 1,2-dichloroethane to give 55% benzylamine derivative, which was stirred 14 h with aqueous NaOH in MeOH to give 71% title compound (II).

IT 331744-63-9P 331744-77-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of oxazolyl- and thiazolylalkoxybenzylglycines and related compds. as antidiabetic and antiobesity agents)

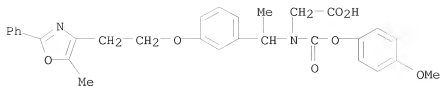
RN 331744-63-9 CAPLUS

CN Glycine, N-[(4-methylphenoxy)carbonyl]-N-[1-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]- (CA INDEX NAME)

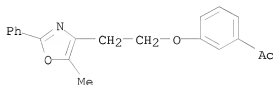


RN 331744-77-5 CAPLUS

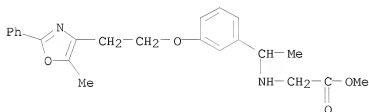
CN Glycine, N-[(4-methoxyphenoxy)carbonyl]-N-[1-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]- (CA INDEX NAME)



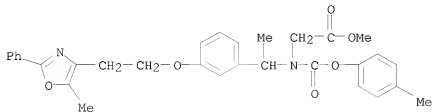
IT 174258-60-7P 331746-06-6P 331746-07-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of oxazolyl- and thiazolylalkoxybenzylglycines and related  
 compds. as antidiabetic and antiobesity agents)  
 RN 174258-60-7 CAPLUS  
 CN Ethanone, 1-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]- (CA INDEX  
 NAME)



RN 331746-06-6 CAPLUS  
 CN Glycine, N-[1-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-,  
 methyl ester (CA INDEX NAME)



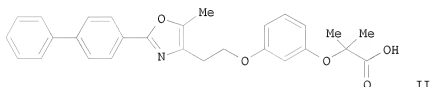
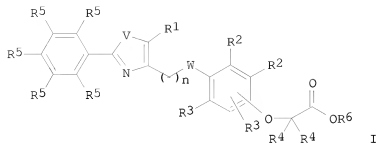
RN 331746-07-7 CAPLUS  
 CN Glycine, N-[1-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]-,  
 methyl ester (CA INDEX NAME)



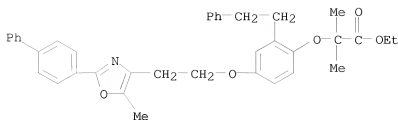
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2001:167982 CAPLUS  
 DOCUMENT NUMBER: 134:207811  
 TITLE: Preparation of biarylloxa(thia)zole derivatives as PPAR modulators  
 INVENTOR(S): Brooks, Dawn A.; Rito, Christopher J.; Shuker, Anthony J.; Dominianni, Samuel J.; Warshawsky, Alan M.; Gossett, Lynn S.; Matthews, Donald P.; Hay, David A.; Ardecky, Robert J.; Michellys, Pierre-Yves; Tyhonas, John S.  
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Ligand Pharmaceuticals Incorporated  
 SOURCE: PCT Int. Appl., 232 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001016120	A1	20010308	WO 2000-US23358	20000823
WO 2001016120	A9	20020711		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2382966	A1	20010308	CA 2000-2382966	20000823
EP 1206457	A1	20020522	EP 2000-959401	20000823
EP 1206457	B1	20031015		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
US 6417212	B1	20020709	US 2000-644457	20000823
JP 2003508389	T	20030304	JP 2001-519687	20000823
AT 252091	T	20031115	AT 2000-959401	20000823
PT 1206457	T	20040331	PT 2000-959401	20000823
ES 2204684	T3	20040501	ES 2000-959401	20000823
US 2003045558	A1	20030306	US 2002-121373	20020411
US 6610696	B2	20030826		
US 2004019090	A1	20040129	US 2003-434425	20030507
US 6825222	B2	20041130		
PRIORITY APPLN. INFO.:			US 1999-151162P	P 19990827
			US 2000-644457	A3 20000823
			WO 2000-US23358	W 20000823
			US 2002-121373	A3 20020411
OTHER SOURCE(S):	MARPAT 134:207811			
GI				

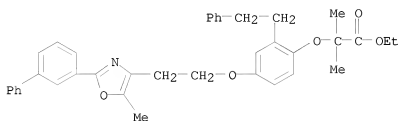


- AB Title compds. (I) [wherein n = 2-4; V = O or S; W = O, S, or SO<sub>2</sub>; R<sub>1</sub> = H, alkyl, Ph, or CF<sub>3</sub>; R<sub>2</sub> = independently H, (cyclo)alkyl, cycloalkylalkyl, aryl(alkyl), or together with the Ph to which they are bound form naphthyl or 1,2,3,4-tetrahydronaphthyl; R<sub>3</sub> = independently H, (cyclo)alkyl, cycloalkylalkyl, or aryl(alkyl); R<sub>4</sub> = independently H, alkyl, aryl, or benzyl; R<sub>5</sub> = independently H or (un)substituted (hetero)aryl, provided that at least one R<sub>5</sub> = (un)substituted (hetero)aryl; and R<sub>6</sub> = H or (amino)alkyl] were prepared as are modulators of peroxisome proliferator activated receptors (PPARs) and are useful in the treatment of type II diabetes and cardiovascular diseases. For example, a mixture of the toluene-4-sulfonic acid 2-(2-(biphenyl-4-yl)-5-methyloxazol-4-yl)ethyl ester and 2-(3-hydroxyphenoxy)-2-methylpropanoic acid Et ester was heated at 55°C in DMF for 18 h and the intermediate deesterified using NaOH in EtOH and THF to afford the title compound II. II bound to human PPAR $\alpha$  and PPAR $\gamma$  with IC<sub>50</sub> values of 97 nM and 532 nM, resp., and activated human PPAR $\alpha$  and PPAR $\gamma$  with efficacies of 97% and 70%, resp. In assays evaluating triglyceride and cholesterol levels in mice transgenic for human apoA1, administration of II reduced triglyceride serum levels by 60.5% and increased HDLc serum levels by 204%. Glucose normalization of 95% was attained in male diabetic (db/db) mice dosed with II.
- IT 328919-42-2P 328919-43-3P 328920-05-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of biaryl oxathiazole PPAR modulators by coupling biaryloxazolylalkyl tosylates with alcs. or thiols)
- RN 328919-42-2 CAPLUS
- CN Propanoic acid, 2-[4-[2-(2-[1,1'-biphenyl]-4-yl-5-methyl-4-oxazolyl)ethoxy]-2-(2-phenylethyl)phenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)



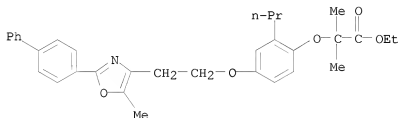
RN 328919-43-3 CAPLUS

CN Propanoic acid, 2-[4-[2-(2-[1,1'-biphenyl]-3-yl-5-methyl-4-oxazolyl)ethoxy]-2-(2-phenylethyl)phenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)



RN 328920-05-4 CAPLUS

CN Propanoic acid, 2-[4-[2-(2-[1,1'-biphenyl]-4-yl-5-methyl-4-oxazolyl)ethoxy]-2-propylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)



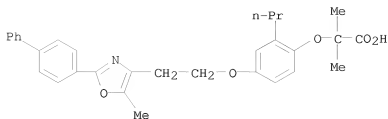
IT 328918-27-0P 328918-52-1P 328918-53-2P

328918-79-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of biaryl oxa(thia)zole PPAR modulators by coupling biaryloxazolylalkyl tosylates with alcs. or thiols)

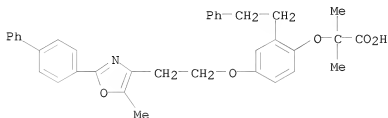
RN 328918-27-0 CAPLUS

CN Propanoic acid, 2-[4-[2-(2-[1,1'-biphenyl]-4-yl-5-methyl-4-oxazolyl)ethoxy]-2-propylphenoxy]-2-methyl- (CA INDEX NAME)



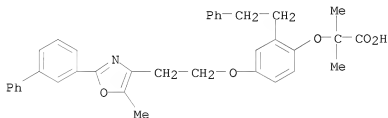
RN 328918-52-1 CAPLUS

CN Propanoic acid, 2-[4-[2-(2-[1,1'-biphenyl]-4-yl-5-methyl-4-oxazolyl)ethoxy]-2-(2-phenylethyl)phenoxy]-2-methyl- (CA INDEX NAME)



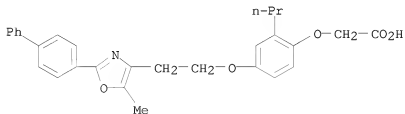
RN 328918-53-2 CAPLUS

CN Propanoic acid, 2-[4-[2-(2-[1,1'-biphenyl]-3-yl-5-methyl-4-oxazolyl)ethoxy]-2-(2-phenylethyl)phenoxy]-2-methyl- (CA INDEX NAME)



RN 328918-79-2 CAPLUS

CN Acetic acid, [4-[2-(2-[1,1'-biphenyl]-4-yl-5-methyl-4-oxazolyl)ethoxy]-2-propylphenoxy]- (9CI) (CA INDEX NAME)



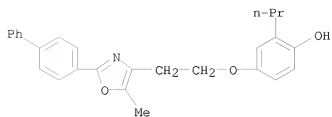
IT 328920-04-3

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reactant; preparation of biaryl oxa(thia)zole PPAR modulators by coupling biaryloxazolylalkyl tosylates with alcs. or thiols)

RN 328920-04-3 CAPLUS

CN Phenol, 4-[2-(2-[1,1'-biphenyl]-4-yl-5-methyl-4-oxazolyl)ethoxy]-2-propyl-

(CA INDEX NAME)



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:94931 CAPLUS

DOCUMENT NUMBER: 132:265154

TITLE: New Azolidinediones as Inhibitors of Protein Tyrosine Phosphatase 1B with Antihyperglycemic Properties  
AUTHOR(S): Malamas, Michael S.; Sredy, Janet; Gunawan, Iwan; Mihan, Brenda; Sawicki, Diane R.; Seestaller, Laura; Sullivan, Donald; Flam, Brenda R.

CORPORATE SOURCE: Wyeth-Ayerst Research Inc., Princeton, NJ, 08543-8000, USA

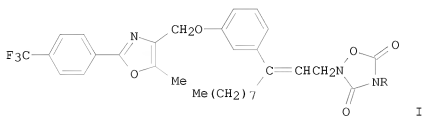
SOURCE: Journal of Medicinal Chemistry (2000), 43(5), 995-1010  
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Insulin resistance in the liver and peripheral tissues together with a pancreatic cell defect are the common causes of type 2 diabetes. It is now appreciated that insulin resistance can result from a defect in the insulin receptor signaling system, at a site post binding of insulin to its receptor. Protein tyrosine phosphatases (PTPases) have been shown to be neg. regulators of the insulin receptor. Inhibition of PTPases may be an effective method in the treatment of type 2 diabetes. A series of azolidinediones has been prepared as protein tyrosine phosphatase 1B (PTP1B) inhibitors. Several compds. were potent inhibitors against the recombinant rat and human PTP1B enzymes with submicromolar IC50 values. Elongated spacers between the azolidinedione moiety and the central aromatic portion of the mol. as well as hydrophobic groups at the vicinity of this aromatic region were very important to the inhibitory activity. Oxadiazolidinediones (E)- and (Z)-I [R = H, CH2CO2H] were the best h-PTP1B inhibitors with IC50 values in the range of 0.12-0.3  $\mu$ M. Several compds. normalized plasma glucose and insulin levels in the ob/ob and db/db diabetic mouse models.

IT 174258-61-8P 174259-12-2P

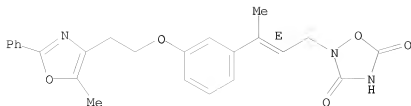
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation of phenyloxazolylalkoxyphenylalkyloxazolidinediones as protein tyrosine phosphatase inhibitors)

RN 174258-61-8 CAPLUS

CN 1,2,4-Oxadiazolidine-3,5-dione, 2-[(2E)-3-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]-2-butenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

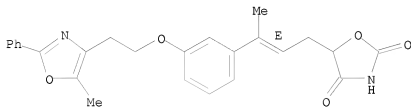




RN 174259-12-2 CAPLUS

CN 2,4-Oxazolidinedione, 5-[(2E)-3-[2-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]-2-butenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT:

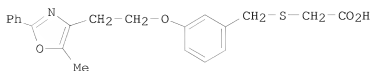
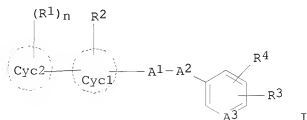
48

THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:595118 CAPLUS  
 DOCUMENT NUMBER: 131:243262  
 TITLE: Preparation of carboxylic acid derivatives as PPAR  
 regulating agents  
 INVENTOR(S): Tajima, Hisao; Nakayama, Yoshisuke; Fukushima,  
 Daikichi  
 PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 255 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9946232	A1	19990916	WO 1999-JP1134	19990309
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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US 2003153579	A1	20030814	US 2002-251805	20020923
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US 2005250824	A1	20051110	US 2005-178639	20050712
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			JP 1998-87560	A 19980331
			WO 1999-JP1134	W 19990309
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OTHER SOURCE(S): MARPAT 131:243262  
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II

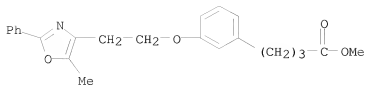
AB The title compds. I [A1 = alkylene, etc.; A2 = O, S; A3 = CH, N; n = 1 - 5; R1 = H, alkyl, etc.; R2 = H, halo, etc.; Cyc1 = phenylene, etc.; Cyc2 = heterocyclic ring, etc.; R3 = H, nitro, etc.; R4 = 2,4-thiazolidinedion-5-yl, etc.; provisos are given] are prepared Because of their effect of regulating PPAR (peroxisome proliferator-activated receptor), the compds. of the general formula I are useful as hypoglycemic agents, lipid-lowering agents, preventives and/or remedies for diseases associating metabolic errors (diabetes, obesity, syndrome X, hypercholesterolemia, hyperlipoproteinemia, etc.), hyperlipemia, arteriosclerosis, hypertension, circulatory diseases, overeating, ischemic heart diseases, etc., HDL cholesterol-elevating agents, LDL cholesterol and/or VLDL cholesterol-lowering agents and drugs for relieving risk factors of diabetes or syndrome X. Formulations containing a compound of this invention are given. Phenylloxazolylethoxyphenylmethylthioacetic derivative II showed PPAR  $\alpha$  agonist activity; the blood sugar in mice treated with II (at 38.9 mg/kg/day for 2 days) was  $214 \pm 19$  mg/dL, vs.  $495 \pm 35$  mg/dL in controls.

II 244149-61-9P 244149-62-0P 244149-63-1P  
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of carboxylic acid derivs. as PPAR regulating agents)

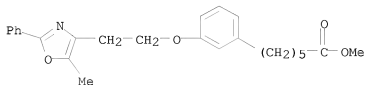
RN 244149-61-9 CAPLUS

CN Benzenebutanoic acid, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-, methyl ester (CA INDEX NAME)



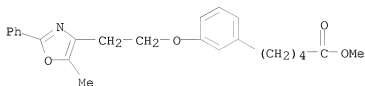
RN 244149-62-0 CAPLUS

CN Benzenhexanoic acid, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-, methyl ester (CA INDEX NAME)



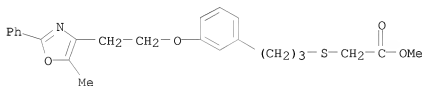
RN 244149-63-1 CAPLUS

CN Benzenepentanoic acid, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-, methyl ester (CA INDEX NAME)



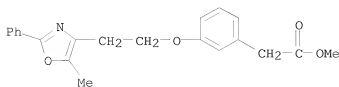
RN 244149-71-1 CAPLUS

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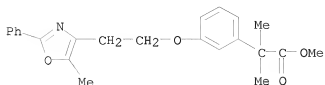
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CN Benzenepentanoic acid, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-, methyl ester (CA INDEX NAME)



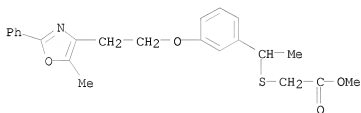
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CN Benzeneacetic acid,  $\alpha,\alpha$ -dimethyl-3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]-, methyl ester (CA INDEX NAME)



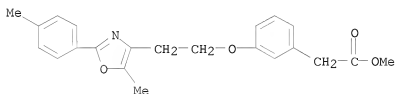
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CN Acetic acid, [[1-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]tio]-, methyl ester (9CI) (CA INDEX NAME)



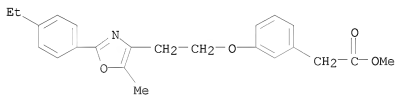
RN 244150-39-8 CAPLUS

CN Benzeneacetic acid, 3-[2-[5-methyl-2-(4-methylphenyl)-4-oxazolyl]ethoxy]-, methyl ester (CA INDEX NAME)



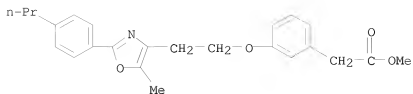
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CN Benzeneacetic acid, 3-[2-[2-(4-ethylphenyl)-5-methyl-4-oxazolyl]ethoxy]-, methyl ester (CA INDEX NAME)



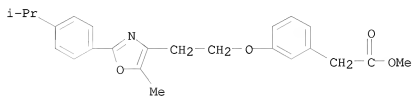
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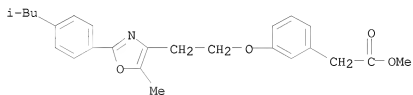
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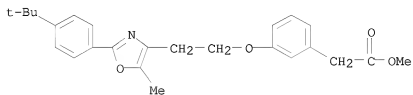
RN 244150-43-4 CAPLUS

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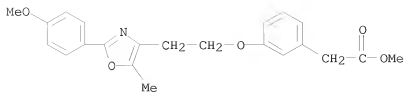
RN 244150-44-5 CAPLUS

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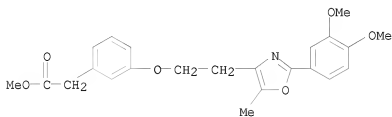


RN 244150-48-9 CAPLUS

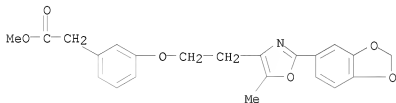
CN Benzeneacetic acid, 3-[2-[2-(4-methoxyphenyl)-5-methyl-4-oxazolyl]ethoxy]-, methyl ester (CA INDEX NAME)



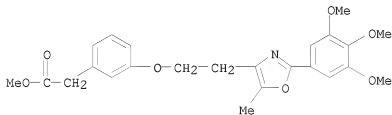
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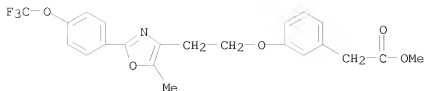
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 CN Benzenecetic acid, 3-[2-[2-(1,3-benzodioxol-5-yl)-5-methyl-4-oxazolyl]ethoxy]-, methyl ester (CA INDEX NAME)



RN 244150-51-4 CAPLUS  
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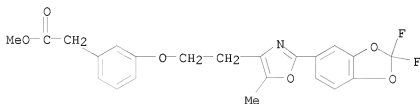


RN 244150-52-5 CAPLUS  
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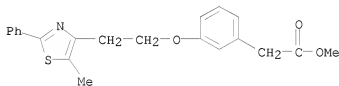
RN 244150-53-6 CAPLUS

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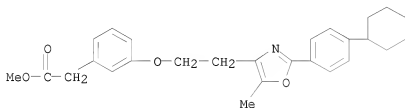
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CN Benzenecetic acid, 3-[2-(5-methyl-2-phenyl-4-thiazolyl)ethoxy]-, methyl ester (CA INDEX NAME)



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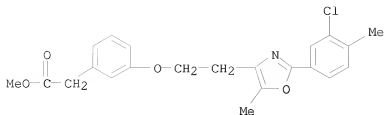
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RN 244150-62-7 CAPLUS

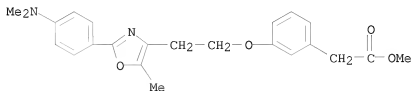
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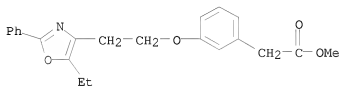
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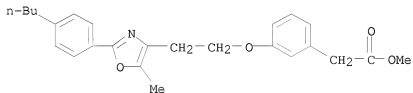
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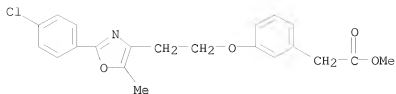
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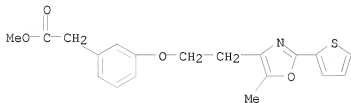
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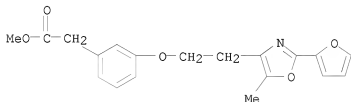
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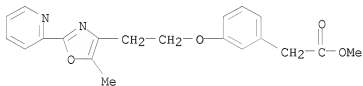
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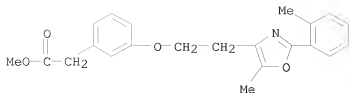
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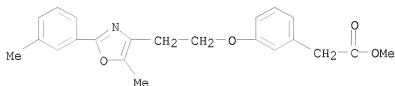
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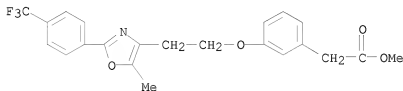
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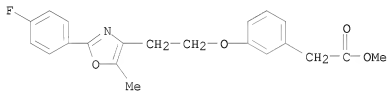
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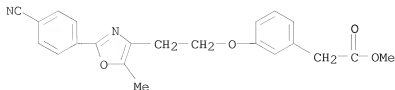
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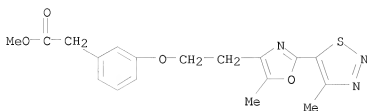
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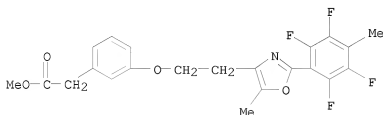
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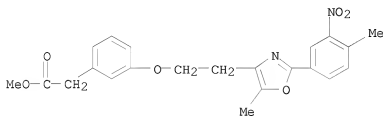
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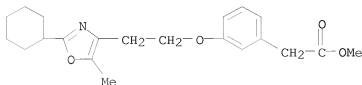
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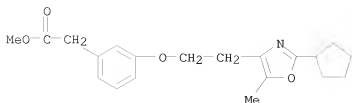
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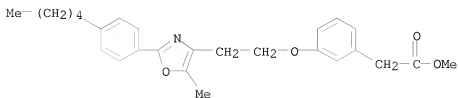
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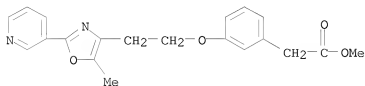
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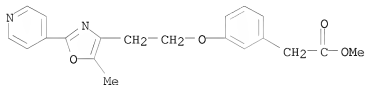
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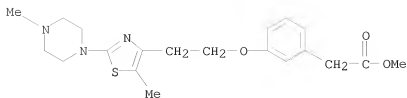
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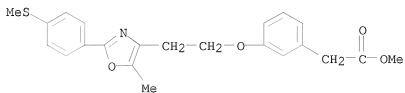
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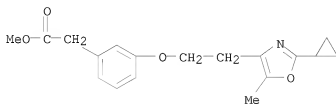
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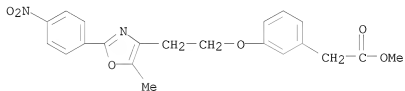
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CN Benzenecetic acid, 3-[2-(2-cyclopropyl-5-methyl-4-oxazolyl)ethoxy]-, methyl ester (CA INDEX NAME)



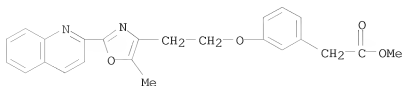
RN 244150-89-8 CAPLUS

CN Benzenecetic acid, 3-[2-[5-methyl-2-(4-nitrophenyl)-4-oxazolyl]ethoxy]-, methyl ester (CA INDEX NAME)



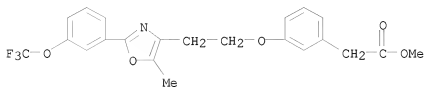
RN 244150-90-1 CAPLUS

CN Benzenecetic acid, 3-[2-[5-methyl-2-(2-quinolinyl)-4-oxazolyl]ethoxy]-, methyl ester (CA INDEX NAME)



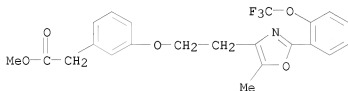
RN 244150-91-2 CAPLUS

CN Benzenecetic acid, 3-[2-[5-methyl-2-[3-(trifluoromethoxy)phenyl]-4-oxazolyl]ethoxy]-, methyl ester (CA INDEX NAME)



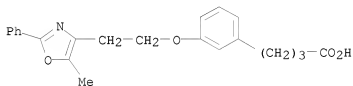
RN 244150-92-3 CAPLUS

CN Benzenecetic acid, 3-[2-[5-methyl-2-[2-(trifluoromethoxy)phenyl]-4-oxazolyl]ethoxy]-, methyl ester (CA INDEX NAME)



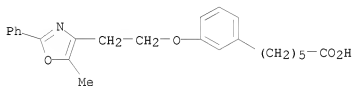
RN 244151-00-6 CAPLUS

CN Benzenebutanoic acid, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (CA INDEX NAME)



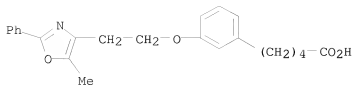
RN 244151-01-7 CAPLUS

CN Benzenehexanoic acid, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (CA INDEX NAME)

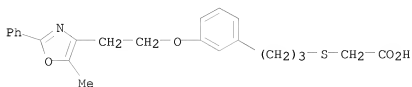


RN 244151-02-8 CAPLUS

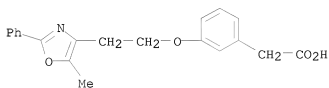
CN Benzenepentanoic acid, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (CA INDEX NAME)



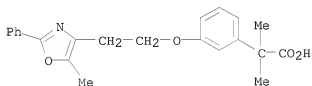
RN 244151-10-8 CAPLUS  
 CN Acetic acid, [[3-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]propyl]thio]- (9CI) (CA INDEX NAME)



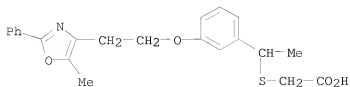
RN 244151-17-5 CAPLUS  
 CN Benzeneacetic acid, 3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (CA INDEX NAME)



RN 244151-38-0 CAPLUS  
 CN Benzeneacetic acid,  $\alpha,\alpha$ -dimethyl-3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (CA INDEX NAME)



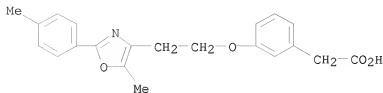
RN 244151-45-9 CAPLUS  
 CN Acetic acid, [[1-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]thio]- (9CI) (CA INDEX NAME)



RN 244151-90-4 CAPLUS

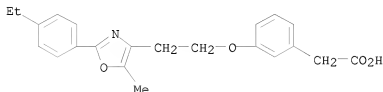


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(CA INDEX NAME)



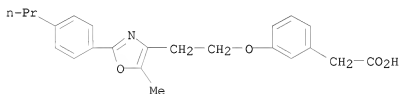
RN 244151-93-7 CAPLUS

CN Benzeneacetic acid, 3-[2-[2-(4-ethylphenyl)-5-methyl-4-oxazolyl]ethoxy]-  
(CA INDEX NAME)



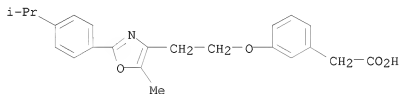
RN 244151-95-9 CAPLUS

CN Benzeneacetic acid, 3-[2-[5-methyl-2-(4-propylphenyl)-4-oxazolyl]ethoxy]-  
(CA INDEX NAME)



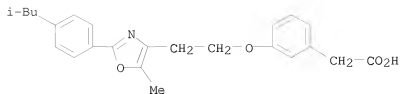
RN 244151-96-0 CAPLUS

CN Benzeneacetic acid, 3-[2-[5-methyl-2-[4-(1-methylethyl)phenyl]-4-oxazolyl]ethoxy]-  
(CA INDEX NAME)

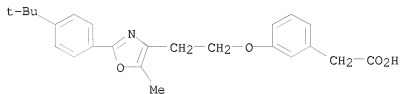


RN 244151-97-1 CAPLUS

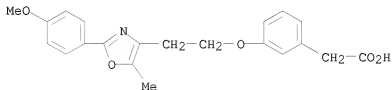
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(CA INDEX NAME)



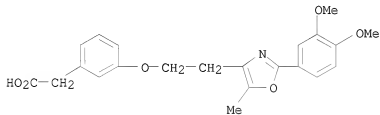
RN 244151-98-2 CAPLUS  
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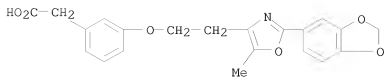
RN 244152-08-7 CAPLUS  
 CN Benzeneacetic acid, 3-[2-[2-(4-methoxyphenyl)-5-methyl-4-oxazolyl]ethoxy]- (CA INDEX NAME)



RN 244152-10-1 CAPLUS  
 CN Benzeneacetic acid, 3-[2-[2-(3,4-dimethoxyphenyl)-5-methyl-4-oxazolyl]ethoxy]- (CA INDEX NAME)

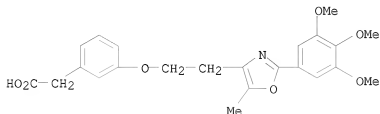


RN 244152-13-4 CAPLUS  
 CN Benzeneacetic acid, 3-[2-[2-(1,3-benzodioxol-5-yl)-5-methyl-4-oxazolyl]ethoxy]- (CA INDEX NAME)



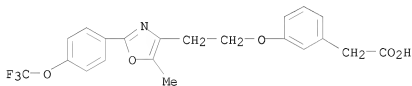
RN 244152-16-7 CAPLUS

CN Benzenecetic acid, 3-[2-[5-methyl-2-(3,4,5-trimethoxyphenyl)-4-oxazolyl]ethoxy]- (CA INDEX NAME)



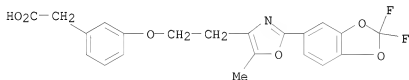
RN 244152-18-9 CAPLUS

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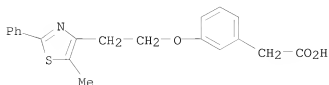
RN 244152-21-4 CAPLUS

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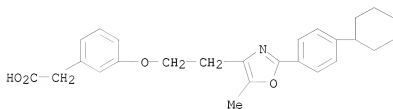


RN 244152-30-5 CAPLUS

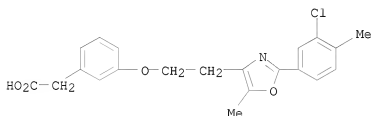
CN Benzenecetic acid, 3-[2-(5-methyl-2-phenyl-4-thiazolyl)ethoxy]- (CA INDEX NAME)



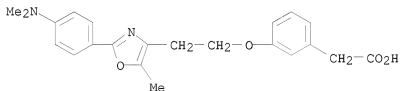
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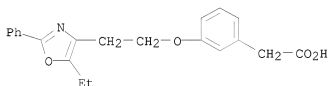
RN 244152-37-2 CAPLUS  
 CN Benzeaeacetic acid, 3-[2-[2-(3-chloro-4-methylphenyl)-5-methyl-4-oxazolyl]ethoxy]- (CA INDEX NAME)



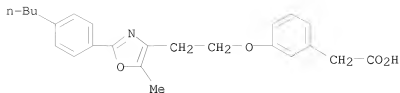
RN 244152-39-4 CAPLUS  
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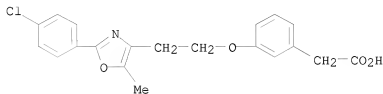


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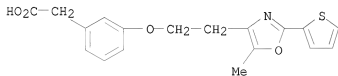
RN 244152-42-9 CAPLUS

CN Benzeneacetic acid, 3-[2-[2-(4-chlorophenyl)-5-methyl-4-oxazolyl]ethoxy]-  
(CA INDEX NAME)



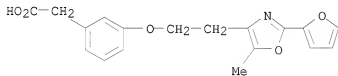
RN 244152-43-0 CAPLUS

CN Benzeneacetic acid, 3-[2-[5-methyl-2-(2-thienyl)-4-oxazolyl]ethoxy]- (CA  
INDEX NAME)



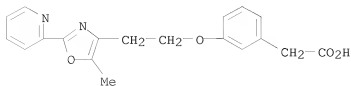
RN 244152-44-1 CAPLUS

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INDEX NAME)



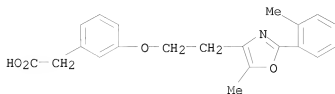
RN 244152-45-2 CAPLUS

CN Benzeneacetic acid, 3-[2-[5-methyl-2-(2-pyridinyl)-4-oxazolyl]ethoxy]-  
(CA INDEX NAME)



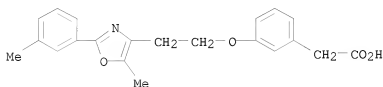
RN 244152-46-3 CAPLUS

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(CA INDEX NAME)



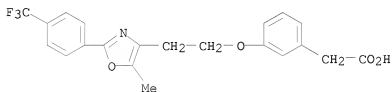
RN 244152-47-4 CAPLUS

CN Benzeneacetic acid, 3-[2-[5-methyl-2-(3-methylphenyl)-4-oxazolyl]ethoxy]-  
(CA INDEX NAME)



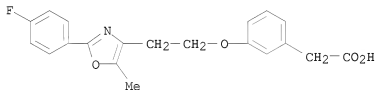
RN 244152-48-5 CAPLUS

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(CA INDEX NAME)



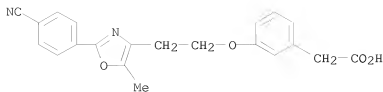
RN 244152-49-6 CAPLUS

CN Benzeneacetic acid, 3-[2-[2-(4-fluorophenyl)-5-methyl-4-oxazolyl]ethoxy]-  
(CA INDEX NAME)



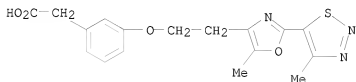
RN 244152-50-9 CAPLUS

CN Benzeneacetic acid, 3-[2-[2-(4-cyanophenyl)-5-methyl-4-oxazolyl]ethoxy]-  
(CA INDEX NAME)



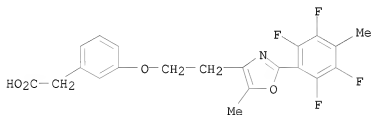
RN 244152-51-0 CAPLUS

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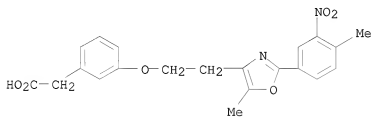
RN 244152-52-1 CAPLUS

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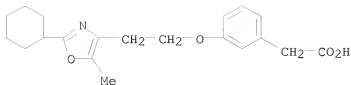
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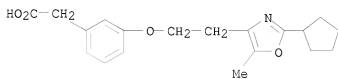


RN 244152-54-3 CAPLUS

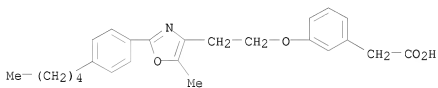
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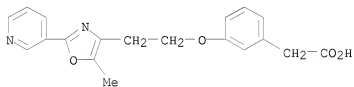
RN 244152-55-4 CAPLUS  
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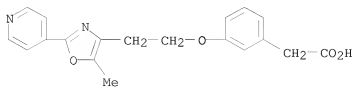
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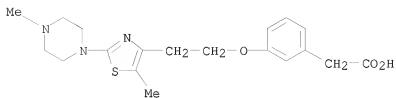
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RN 244152-61-2 CAPLUS  
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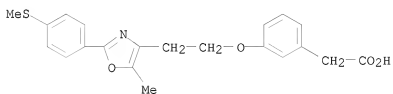


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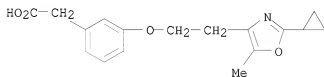
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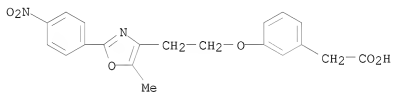
RN 244152-65-6 CAPLUS

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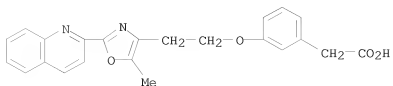
RN 244152-66-7 CAPLUS

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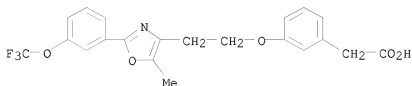


RN 244152-67-8 CAPLUS

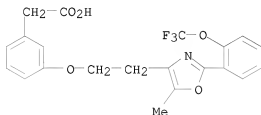
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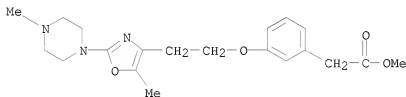
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RN 244152-69-0 CAPLUS  
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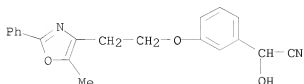


RN 244152-92-9 CAPLUS  
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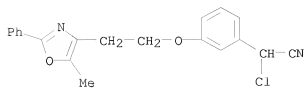
IT 244152-80-5P 244152-81-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of carboxylic acid derivs. as PPAR regulating agents)

RN 244152-80-5 CAPLUS  
 CN Benzeneacetonitrile,  $\alpha$ -hydroxy-3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]- (CA INDEX NAME)



RN 244152-81-6 CAPLUS  
 CN Benzeneacetonitrile,  $\alpha$ -chloro-3-[2-(5-methyl-2-phenyl-4-

oxazolyl)ethoxy]- (CA INDEX NAME)



REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:452768 CAPLUS

DOCUMENT NUMBER: 125:142746

TITLE: Oxa(thia)diazolidinediones and oxa(thia)zolidinediones  
as antihyperglycemic agents

INVENTOR(S): Malamas, Michael S.; Gunawan, Iwan

PATENT ASSIGNEE(S): American Home Products Corp., USA

SOURCE: U.S., 24 pp., Cont.-in-part of U.S. Ser. No. 421,167.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5532256	A	19960702	US 1995-457948	19950601
US 5468762	A	19951121	US 1994-245734	19940518

PRIORITY APPLN. INFO.: US 1994-245734 A3 19940518  
US 1995-421167 A2 19950413

OTHER SOURCE(S): MARPAT 125:142746

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB This invention relates to novel compds. which have demonstrated oral antihyperglycemic activity in diabetic ob/ob and db/db mice, animal models of non-insulin dependent diabetes mellitus (NIDDM or Type II diabetes). These compds. have the formula I wherein: R1 is C1-C6 alkyl, C3-C8 cycloalkyl, thienyl, furyl, pyridyl, R10C6H4 or R10C10H6 where R10 is hydrogen, C1-C6 alkyl, fluorine, chlorine, bromine, iodine, C1-C6 alkoxy, trifluoroalkyl or trifluoroalkoxy; R2 is hydrogen or C1-C6 alkyl; X is O or S; n is 0, 1, or 2; A is II or III where R3 is hydrogen, C1-C6 alkyl, halogen, C1-C6 alkoxy, trifluoroalkyl or trifluoroalkoxy; B is IV-VI where R4 is hydrogen, C1-C6 alkyl, allyl, C6-C10 aryl, C6-C10 aryl-(CH2)1-6, fluorine, chlorine, bromine, iodine, trimethylsilyl or C3-C8 cycloalkyl; R5 is hydrogen, C1-C6 alkyl, C6-C10 aryl, or C6-C10 aryl-(CH2)1-6; m is 0, 1, or 2; R6 is hydrogen or C1-C6 alkyl; R7 is hydrogen or C1-C6 alkyl; R8 and R9 are selected independently from hydrogen, C1-C6 alkyl, fluorine, chlorine, bromine, or iodine; Y is S; Z is N or CH; or a pharmaceutically acceptable salt thereof. Thus, alkylation of 3-hydroxybenzaldehyde with 4-chloromethyl-5-methyl-2-(4-trifluoromethylphenyl)oxazole afforded 65% 3-[5-methyl-2-(4-trifluoromethylphenyl)oxazol-4-ylmethoxy]benzaldehyde; reaction of the latter with ethylmagnesium bromide followed by oxidation afforded 74% 1-{3-[5-methyl-2-(4-trifluoromethylphenyl)oxazol-4-ylmethoxy]phenyl}propan-1-one; condensation with tri-Et phosphonoacetate afforded 55% trans- and 28% cis-3-[3-[5-methyl-2-(4-trifluoromethylphenyl)oxazol-4-ylmethoxy]phenyl]pent-2-enoic acid Et ester; reduction of the trans isomer to the (E) pent-2-en-1-ol (91%) followed by condensation with BOC-HNO-BOC afforded 96% (E)-N-tert-butoxycarbonyloxy-3-[3-[5-methyl-2-(4-trifluoromethylphenyl)oxazol-4-ylmethoxy]phenyl]pent-2-enyl]carbamate acid tert-Bu ester; deprotection to the hydroxylamine (88%) followed by cyclization with N-(chlorocarbonyl) isocyanate afforded 64% (E)-2-(3-[3-[5-methyl-2-(4-trifluoromethylphenyl)oxazol-4-ylmethoxy]phenyl]pent-2-enyl)[1,2,4]oxadiazolidine-3,5-dione VII which exhibited -76% change in blood glucose in db/db mice at 100 mg/kg p.o.

IT 174258-61-8P 174259-12-2P

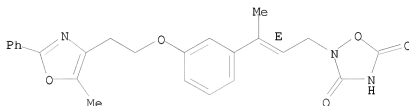
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (oxa(thia)diazolidinediones and oxa(thia)zolidinediones as  
 antihyperglycemic agents)

RN 174258-61-8 CAPLUS

CN 1,2,4-Oxadiazolidine-3,5-dione, 2-[(2E)-3-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]-2-butenyl]- (9CI) (CA INDEX NAME)

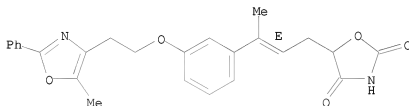
Double bond geometry as shown.



RN 174259-12-2 CAPLUS

CN 2,4-Oxazolidinedione, 5-[(2E)-3-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]-2-butenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

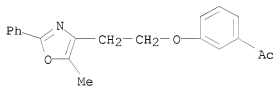


IT 174258-60-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (oxa(thia)diazolidinediones and oxa(thia)zolidinediones as  
 antihyperglycemic agents)

RN 174258-60-7 CAPLUS

CN Ethanone, 1-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]- (CA INDEX NAME)



L4 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1995:1003034 CAPLUS  
 DOCUMENT NUMBER: 124:202232  
 TITLE: Oxazolyl azolidinediones as antihyperglycemic agents  
 INVENTOR(S): Malamas, Michael S.; Gunawan, Iwan  
 PATENT ASSIGNEE(S): American Home Products Corporation, USA  
 SOURCE: U.S., 23 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5468762	A	19951121	US 1994-245734	19940518
CA 2190015	A1	19951123	CA 1995-2190015	19950413
WO 9531454	A1	19951123	WO 1995-US4631	19950413
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TT, UA, UG, UZ RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9523842	A	19951205	AU 1995-23842	19950413
AU 684357	B2	19971211		
US 5510360	A	19960423	US 1995-421111	19950413
EP 759919	A1	19970305	EP 1995-916989	19950413
EP 759919	B1	19981111		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE CN 1152312 A 19970618 CN 1995-194037 19950413 HU 76823 A2 19971128 HU 1996-3185 19950413 JP 10500133 T 19980106 JP 1995-529644 19950413 AT 173256 T 19981115 AT 1995-916989 19950413 ES 2124545 T3 19990201 ES 1995-916989 19950413 ZA 9503981 A 19961118 ZA 1995-3981 19950516 US 5532256 A 19960702 US 1995-457948 19950601				
PRIORITY APPLN. INFO.:			US 1994-245734	A 19940518
			US 1995-421167	A2 19950413
			WO 1995-US4631	W 19950413
OTHER SOURCE(S):		MARPAT 124:202232		
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB This invention relates to compds. which have oral antihyperglycemic activity of the formula I wherein: R1 is, e.g., C1-C6 alkyl, C3-C8 cycloalkyl, thienyl, furyl, pyridyl, R10-substituted Ph or naphthyl where R10 is hydrogen, C1-C6 alkyl, fluorine, chlorine, bromine, iodine, C1-C6 alkoxy, trifluoroalkyl or trifluoroalkoxy; R2 is hydrogen or C1-C6 alkyl; X is O or S; n is 1 or 2; A is II or III where R3 is hydrogen, C1-C6 alkyl, halogen, C1-C6 alkoxy, trifluoroalkyl or trifluoroalkoxy; B is IV, V, or VI where R4 is hydrogen, C1-C6 alkyl, allyl, C6-C10 aryl, C6-C10-aryl-(CH2)1-6, fluorine, chlorine, bromine, iodine, trimethylsilyl or C3-C8 cycloalkyl; R5 is hydrogen, C1-C6 alkyl, C6-C10 aryl, or C6-C10-aryl-(CH2)1-6; x is 0, 1, or 2; R6 is hydrogen or C1-C6 alkyl; R7 is hydrogen or C1-C6 alkyl; R8 and R9 are selected independently from hydrogen, C1-C6 alkyl, fluorine, chlorine, bromine, or iodine; Y is O or S; Z is N or CH when Y is O and Z is CH when Y is S; or a pharmaceutically

acceptable salt thereof. Thus, e.g., treatment of (E)-N-(3-{3-[5-methyl-2-(4-trifluoromethylphenyl)oxazol-4-ylmethoxy]phenyl}pent-2-enyl)hydroxylamine (preparation given) with N-(Chlorocarbonyl)isocyanate afforded 64% (E)-2-(3-{3-[5-methyl-2-(4-trifluoromethylphenyl)oxazol-4-ylmethoxy]phenyl}pent-2-enyl)[1,2,4]oxadiazolidine-3,5-dione (VII) which exhibited -76% change in blood glucose in diabetic db/db mice at 100 mg/kg p.o.

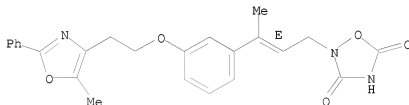
IT 174258-61-8P 174259-12-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(oxazolyl azolidinediones as antihyperglycemic agents)

RN 174258-61-8 CAPLUS

CN 1,2,4-Oxadiazolidine-3,5-dione, 2-[(2E)-3-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]-2-butenyl]- (9CI) (CA INDEX NAME)

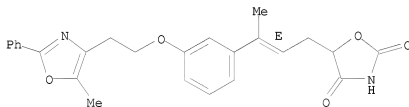
Double bond geometry as shown.



RN 174259-12-2 CAPLUS

CN 2,4-Oxadiazolidinedione, 5-[(2E)-3-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]-2-butenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

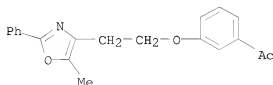


IT 174258-60-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(oxazolyl azolidinediones as antihyperglycemic agents)

RN 174258-60-7 CAPLUS

CN Ethanone, 1-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]- (CA INDEX NAME)



L4 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:983209 CAPLUS

DOCUMENT NUMBER: 124:105587

TITLE: Azole Phenoxy Hydroxyureas as Selective and Orally Active Inhibitors of 5-Lipoxygenase

AUTHOR(S): Malamas, Michael S.; Carlson, Richard P.; Grimes, David; Howell, Ralph; Glaser, Keith; Gunawan, Iwan; Nelson, James A.; Kanzelberger, Mira; Shah, Uresh; Hartman, David A.

CORPORATE SOURCE: Wyeth-Ayerst Research Inc., Princeton, NJ, 08543-8000, USA

SOURCE: Journal of Medicinal Chemistry (1996), 39(1), 237-45  
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 124:105587

AB Azole phenoxy hydroxyureas are a new class of 5-lipoxygenase (5-LO) inhibitors. Structure-activity relations studies have demonstrated that electroneg. substituents on the 2-Ph portion of the oxazole tail increased the ex vivo potency of these inhibitors. Similar substitutions on the thiazole analogs had only minor contribution to the ex vivo activity. The trifluoromethyl-substituted oxazole was the best compound of the oxazole series in both the ex vivo (6-h pretreated rats) and in vivo (3-h pretreated rats) RPAR assay with ED50 values of approx. 1 and 3.6 mg/kg, resp., but was weakly active in the allergic guinea pig assay. An unsubstituted thiazole was the best compound of the thiazole series, by inhibiting the leukotriene B4 biosynthesis in the RPAR assay (3-h pretreated rats) by 99%, at an oral dose of 10 mg/kg, and the bronchoconstriction in the allergic guinea pig by 50%, at an i.v. dose of 10 mg/kg. This activity was selective for 5-LO, as concns. up to 15  $\mu$ M in mouse macrophages did not affect prostaglandin formation. One of the oxazoles was the most active inhibitor in the human monocyte assay with an IC50 value of 7 nM.

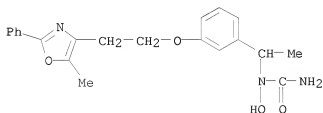
IT 166262-09-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(azole phenoxy hydroxyureas as lipoxygenase inhibitors)

RN 166262-09-5 CAPLUS

CN Urea, N-hydroxy-N-[1-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]- (CA INDEX NAME)

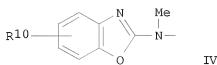
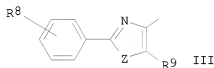
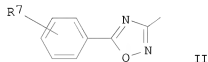
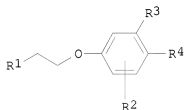




L4 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1995:705727 CAPLUS  
 DOCUMENT NUMBER: 123:112070  
 TITLE: Aryl-N-hydroxyureas as inhibitors of 5-lipoxygenase and anti-arteriosclerotic agents  
 INVENTOR(S): Malamas, Michael S.; Gunawan, Iwan  
 PATENT ASSIGNEE(S): American Home Products Corporation, USA  
 SOURCE: U.S., 14 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5428048	A	19950627	US 1993-148602	19931108
US 5541205	A	19960730	US 1995-409781	19950324
PRIORITY APPLN. INFO.:			US 1993-148602	A3 19931108
OTHER SOURCE(S):		CASREACT 123:112070; MARPAT 123:112070		

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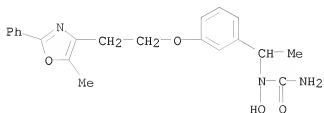
AB A method of inhibiting the biosynthesis of leukotrienes and the oxidative modification of lipids is claimed, which comprises administration to a mammal in need thereof a therapeutically effective amount of aryl-N-hydroxyurea I wherein: R2 is hydrogen, halogen or C1-C6 alkyl; one of R3 and R4 is H and the other is CHR5N(OH)C(:Y)R, Y is O or S; R5 is hydrogen or Me, R6 is NH2, CH3 or OCH3; and R1 is II, III, or IV wherein R7, R8 and R10 are independently halogen, trifluoromethyl, alkyl, alkoxy, methanesulfonyl or trifluoromethanesulfonyl; R9 is hydrogen or methyl; and Z is O or S, or a pharmaceutically acceptable salt thereof. Thus, e.g., to a solution of 4-(2'-hydroxyethyl)-5-methyl-2-phenyloxazole (III-CH2CH2OH, R8 = H, R9 = Me, Z = O) and 4-HOC6H4CHO in THF was added di-Et azodicarboxylate; workup afforded 4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]benzaldehyde (78%); oximation (90%), followed by reduction to the hydroxylamine (85%) and carbamoylation with trimethylsilyl isocyanate afforded 1-hydroxy-1-[4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]benzyl]urea I [R1 = III, R8 = H, R9 = Me, Z = O, R2 = R3 = H, R4 = CH2N(OH)CONH2; 69%] which demonstrated inhibition of 5-lipoxygenase in human whole blood with 55% inhibition of LTB4 at 1  $\mu$ M dose and inhibited Cu<sup>2+</sup>-mediated oxidation of low d. lipoprotein with IC50 = 0.69  $\mu$ M.

IT 166262-09-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (aryl-N-hydroxyureas as inhibitors of 5-lipoxygenase and  
 anti-arteriosclerotic agents)

RN 166262-09-5 CAPLUS

CN Urea, N-hydroxy-N-[1-[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]ethyl]- (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 16:56:48 ON 07 MAR 2008)

FILE 'REGISTRY' ENTERED AT 16:56:58 ON 07 MAR 2008

L1 STRUCTURE UPLOADED

L2 17 S L1

L3 318 S L1 FULL

FILE 'CAPLUS' ENTERED AT 16:57:26 ON 07 MAR 2008

L4 24 S L3 FULL

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

142.80

321.37

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-19.20

-19.20

STN INTERNATIONAL LOGOFF AT 17:12:14 ON 07 MAR 2008